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FILE 'HOME' ENTERED AT 09:20:12 ON 21 MAR 2007

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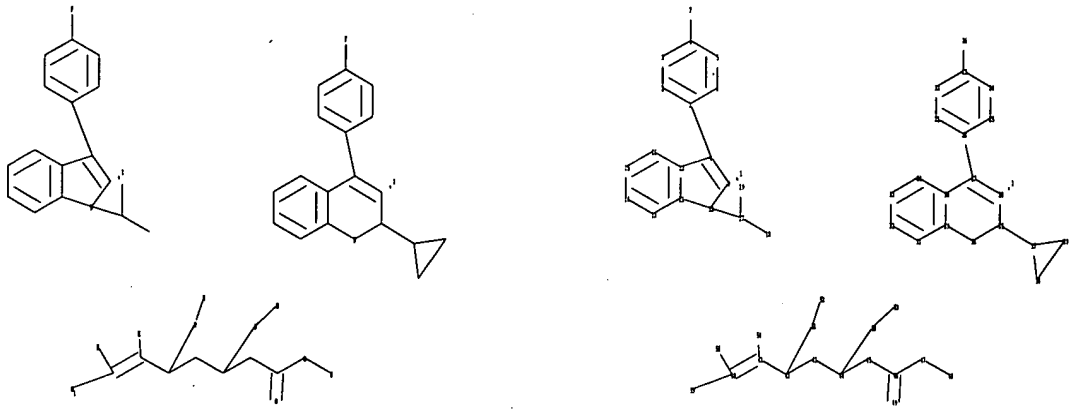
Uploading C:\Program Files\Stnexp\Queries\10502177.str



chain nodes :
7 17 18 19 26 40 41 42 43 44 45 46 47 48 49 50 51 52 53 54 55
59
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 20 21 22 23 24 25 27 28
29 30 31 32 33 34 35 36 37 38 39
chain bonds :
1-8 4-7 10-17 17-18 17-19 20-27 23-26 35-37 40-41 40-55 40-59 41-42
41-54 42-43 42-51 43-44 44-45 44-50 45-46 46-47 46-49 47-48 50-53 51-52

```
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  8-9  8-12  9-10  10-11  11-12  11-13  12-16  13-14
14-15  15-16  20-21  20-25  21-22  22-23  23-24  24-25  27-28  27-30  28-35  29-31
29-30  29-36  30-34  31-32  32-33  33-34  35-36  37-38  37-39  38-39
exact/norm bonds :
9-10  10-11  10-17  27-28  27-30  28-35  29-36  35-36  37-38  37-39  38-39  40-59
42-51  44-50
exact bonds :
1-8  4-7  8-9  8-12  17-18  17-19  20-27  23-26  35-37  40-41  40-55  41-42  41-54
42-43  43-44  44-45  45-46  47-48  50-53  51-52
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  11-12  11-13  12-16  13-14  14-15  15-16  20-21
20-25  21-22  22-23  23-24  24-25  29-31  29-30  30-34  31-32  32-33  33-34  46-47
46-49
isolated ring systems :
containing 8 : 27 :


G1:[*1],[*2]

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  17:CLASS  18:CLASS  19:CLASS
20:Atom  21:Atom  22:Atom  23:Atom  24:Atom  25:Atom  26:CLASS  27:Atom  28:Atom
29:Atom  30:Atom  31:Atom  32:Atom  33:Atom  34:Atom  35:Atom  36:Atom  37:Atom
38:Atom  39:Atom  40:CLASS  41:CLASS  42:CLASS  43:CLASS  44:CLASS  45:CLASS
46:CLASS  47:CLASS  48:CLASS  49:CLASS  50:CLASS  51:CLASS  52:CLASS  53:CLASS
54:CLASS  55:CLASS  59:CLASS



L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l1 full
L3          114 SEA SSS FUL L1

=> file ca

=> s l3
L4        1958 L3

=> s l3/prep
          1958 L3
       4369537 PREP/RL
L5           83 L3/PREP
                (L3 (L) PREP/RL)

=> d ibib abs fhitstr 1-83
```

L5 ANSWER 1 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 146:251655 CA
TITLE: Process for the synthesis of rosuvastatin calcium using L-malic acid for the side chain chirality
INVENTOR(S): Zlicar, Marko
PATENT ASSIGNEE(S): Lek Pharmaceuticals D.D., Slovenia
SOURCE: PCT Int. Appl., 63pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007017117	A1	20070215	WO 2006-EP7388	20060726

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:		
SI 2005-220	A	20050728
SI 2005-311	A	20051110

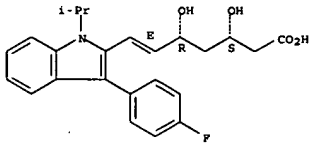
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Present invention represents process for the preparation of HMG-CoA reductase inhibitors, in particular rosuvastatin calcium (I·1/2 Ca2+) introducing L-malic acid as the source of chirality for the side chain. The process for preparing statins II [R4 = protecting group; R5 = C1-12-alkyl, C3-9-cycloalkyl, C2-8-alkenyl, C5-6-cycloalkenyl, C5-10-aryl, heteroaryl, optionally substituted with halogen, alkyl, alkoxy, aryl; Het = Het1, Het2, Het3, Het4, Het5, Het6; dashed line = single or double bond] comprises reacting Het-CH2P+R1R2R3 A- [R1, R2, R3 = C1-12-alkyl, C3-9-cycloalkyl, C2-8-alkenyl, C5-6-cycloalkenyl, C5-10-aryl, heteroaryl, optionally substituted with halogen, alkyl, alkoxy, aryl; A = anion of a strong anion with a pKa < 4] or Het-CH2P(:O)R2'R3' [R2', R3' = C1-12-alkyl, C3-9-cycloalkyl, C2-8-alkenyl, C5-6-cycloalkenyl, C5-10-aryl, heteroaryl, optionally substituted with halogen, alkyl, alkoxy, aryl] with

L5 ANSWER 1 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
chiral aldehyde III. Thus, I was prepd. from L-malic acid via esterification, silylation, red. with Dibal-H in CH2Cl2 contg. MgBr2·OEt2, Wittig reaction with [[4-(4-fluorophenyl)-6-isopropyl-2-[methyl(methylsulfonyl)amino]pyrimidi-5-yl]methyl]methyldiphenylphosphonium bromide in THF contg. NaN(SiMe3)2, condensation with LiCH2CO2CMe3 in THF, stereoselective redn. with NaBH4 in THF/MeOH contg. Et2BOMe, sapon. with NaOH in aq. THF followed by pptn. with aq. CaCl2.
IT 93957-54-1P, Fluvastatin
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of rosuvastatin calcium using L-malic acid for the side chain chirality)
RN 93957-54-1 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

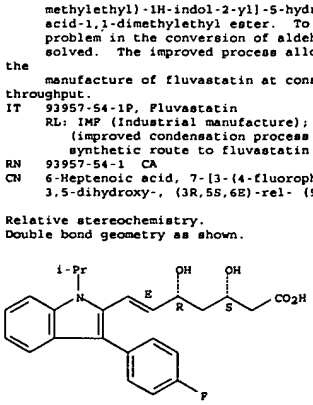
Relative stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 146:165010 CA
TITLE: An Improved Manufacturing Process for Fluvastatin
AUTHOR(S): Fuenfschilling, Peter C.; Hoehn, Pascale; Mutz, Jean-Paul
CORPORATE SOURCE: Chemical and Analytical Development, Novartis Pharma AG, Basel, CH-4002, Switz.
SOURCE: Organic Process Research & Development (2007), 11(1), 13-18
CODEN: OPRDFK; ISSN: 1083-6160
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB An improved manufacturing process for fluvastatin was developed based on the condensation reaction of E-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-2-propenal with the dianion of tert-Bu acetoacetate and the subsequent low-temperature reduction to 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-6-heptenoic acid-1,1-dimethylethyl ester, without isolation of the intermediate 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-5-hydroxy-3-oxo-6-heptenoic acid-1,1-dimethylethyl ester. To be successful, a crucial selectivity problem in the conversion of aldehyde to aldol had to be understood and solved. The improved process allows the omission of two solvents, and the manufacture of fluvastatin at considerably lower cost and in higher throughput.
IT 93957-54-1P, Fluvastatin
RL: IMF (Industrial manufacture); PREP (Preparation)
(improved condensation process and aldehyde to aldol selectivity in synthetic route to fluvastatin with solvent savings)
RN 93957-54-1 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 146:156276 CA
TITLE: Celullar cholesterol absorption modifiers
INVENTOR(S): Gardiner, Elisabeth M.; Duron, Wergio G.; Massari, Mark E.; Severance, Daniel L.; Semple, Joseph E.; Smith, Nicholas D.
PATENT ASSIGNEE(S): Kalypsys, Inc., USA
SOURCE: PCT Int. Appl., 76pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007008529	A2	20070118	WO 2006-US26197	20060706

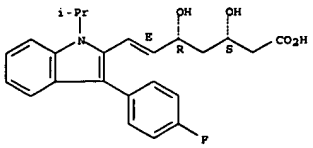
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:		
US 2005-697687P	P	20050708
US 2005-727652P	P	20051017
US 2006-781972P	P	20060313

OTHER SOURCE(S): MARPAT 146:156276
AB The present invention relates to compds. and methods useful as inhibitors of cholesterol absorption for the treatment or prevention of cholesterol-related diseases, such as atherosclerosis (Markush structures given). Fifty two novel aromatic diaza derivs. that prevent cholesterol absorption by inhibitionof NPC1L1 was prepared and their antihypercholesterolemic activity is shown.
IT 93957-54-1P, Fluvastatin
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(celullar cholesterol absorption modifiers)
RN 93957-54-1 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

L5 ANSWER 3 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)

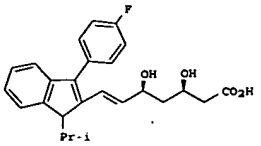


L5 ANSWER 4 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 146:142421 CA
TITLE: Preparation of highly tritiated fluvastatin
INVENTOR(S): Mysoedov, N. F.; Shevchenko, V. P.; Nagaev, I. Yu.
PATENT ASSIGNEE(S): Inst. Mol. Genet. Ross. Akademii Nauk (Img Ran), Russia
SOURCE: Russ., 3pp.
CODEN: RUXXE7
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RU 2291147	C2	20070110	RU 2004-138133	20041227
PRIORITY APPLN. INFO.:			RU 2004-138133	20041227

GI

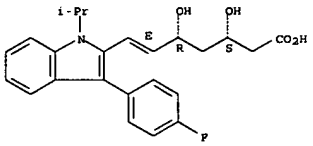


I

AB Invention relates to a novel fluvastatin (I) highly labeled with tritium with molar radioactivity 40-45 Ci/mmole. This compound can be used in anal.
chemical, biochem. and applied medicine.
IT 93957-54-1DP, Fluvastatin, highly tritiated
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of highly tritiated fluvastatin)
RN 93957-54-1 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

L5 ANSWER 4 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



L5 ANSWER 5 OF 83 CA COPYRIGHT 2007 ACS on STN

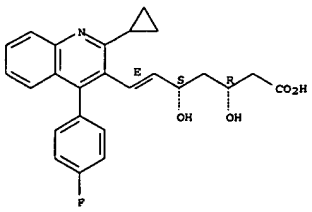
ACCESSION NUMBER: 146:100564 CA
TITLE: Preparation of Pitavastatin calcium with high optical purity as HMG-CoA reductase inhibitor
INVENTOR(S): Wu, Hao; Hu, Guoping; Du, Xiaoxing; Li, Ge
PATENT ASSIGNEE(S): Shanghai Pharmatech Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 14pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1876633	A	20061213	CN 2005-10026641	20050610
PRIORITY APPLN. INFO.:			CN 2005-10026641	20050610

AB In this invention, Pitavastatin calcium is prepared from 2-cyclopropyl-4-(4-fluorophenyl)quinoline-3-carbaldehyde with (3R)-3-alkylsiloxoxane-5-carbonyl-6-triphenylphosphoric heptenoate via Wittig reaction to form (E)-7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinoline]-5-carbonyl-(3R)-3-alkylsiloxoxane-6-heptenoate, then deprotection of the alkylsilyl group to obtain (E)-7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinoline]-5-carbonyl-(3R)-hydroxy-6-heptenoate, further selective reduction with NaBH4 or KBH4 in the presence of ligand in a mixed
solvents of alc. and ether to give
(E)-7-[2-cyclopropyl-4-(4-fluorophenyl)-
3-quinoline]-(3R,5S)-dihydroxy-6-heptenoate, after hydrolysis with a base to obtain Pitavastatin calcium. Pitavastatin calcium is mainly used as HMG-CoA reductase inhibitor (a hypolipidemic drug).
IT 574705-92-3P
RL: RCT (Reactant); PREP (Preparation); PREP (Preparation); RACT (Reactant or reagent)
(high optical purity Pitavastatin calcium preparation and application as
HMG-CoA reductase inhibitor)
RN 574705-92-3 CA
CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.

L5 ANSWER 5 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



● Na

L5 ANSWER 6 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 146:82189 CA
TITLE: Preparation of L-threonine derivatives with high therapeutic index
INVENTOR(S): Chandran, V. Ravi
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 60pp., Cont.-in-part of U.S. Ser. No. 343,557.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006287244	A1	20061221	US 2006-442027	20060526
WO 2005046575	A2	20050526	WO 2004-US24901	20040729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2006241017	A1	20061026	US 2006-343557	20060130

PRIORITY APPLN. INFO.: US 2003-491331P P 20030729
WO 2004-US24901 A2 20040729
US 2006-343557 A2 20060130

AB The invention is directed to novel therapeutic compds. comprised of an L-threonine bonded to a medicament or drug having a hydroxy, amino, carboxy or acylating function. These high-therapeutic index derivs. have the same utility as the drug from which they are made and they have enhanced pharmacol. and pharmaceutical properties, with the addnl. advantage of separating various enantiomeric and diastereomeric drugs into their individual isomers. The examples describe the synthesis and activities of L-threonine derivs. of (±)- and (+)-(S)-ibuprofen, (±)- and (+)-(S)-ketoprofen, (-)-(S)-ketorolac, aspirin, and fenofibric acid. The synthesis and activity of several L-serine and L-hydroxyproline analogs were also described. Thus, the hydrochloride of (+)-(S)-ibuprofen ester of L-threonine was prepared, and its free base examined for analgesic, gastric mucosal irritation, toxicity, and pharmacokinetic properties.

IT 917472-28-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);

L5 ANSWER 6 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)

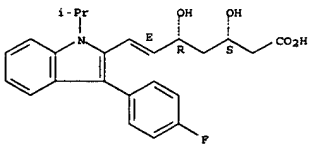
USES (Uses)
(prepn. of L-threonine derivs. with high therapeutic index)

RN 917472-28-7 CA
CN L-Threonine, ester with (3S,5R,6E)-7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-6-heptenoic acid (CA INDEX NAME)

CM 1

CRN 155229-76-8
CMF C24 H26 F N O4

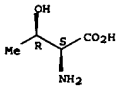
Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



CM 2

CRN 72-19-5
CMF C4 H9 N O3

Absolute stereochemistry.



L5 ANSWER 7 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 146:68516 CA
TITLE: Synthesis and characterization of a fluvastatin-releasing hydrogel delivery system to modulate hMSC differentiation and function for bone regeneration
AUTHOR(S): Benoit, Danielle S. W.; Nuttelman, Charles R.; Collins, Stuart D.; Anseth, Kristi S.
CORPORATE SOURCE: Department of Chemical and Biological Engineering, University of Colorado, Boulder, CO, 80309-0424, USA
SOURCE: Biomaterials (2006), 27(36), 6102-6110
CODEN: BIMADU; ISSN: 0142-9612
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Increases in bone formation have been demonstrated in mice and rats treated with statins, a group of mols. that increase the production of bone morphogenetic proteins-2 (BMP2) by stimulating its promoter. However, clin. use of statins (e.g., fluvastatin) is limited by the lack of a suitable delivery system to localize and sustain release. To harness the therapeutic effect of statins in orthopedic applications, a fluvastatin-releasing macromer was synthesized. When copolymd. with a dimethacrylated poly(ethylene glycol) solution, this fluvastatin-containing mol. was covalently incorporated into hydrogel networks, and hydrolysis of lactic acid ester bonds resulted in the release of the pendantly tethered fluvastatin from the hydrogel into the surrounding solution The rate of fluvastatin release was controlled by the length of lactic acid spacer (2-6 repeats), and the dose was controlled by the initial comonomer composition (5-500 μg fluvastatin/gel). Released fluvastatin increased human mesenchymal stem cell (hMSC) gene expression of CBFA1, ALP, and COL I by 34-fold, 2.6-fold, and 1.8-fold, resp., after 14 days of in vitro culture. In addition, treating hMSCs with the released fluvastatin resulted in an average of 2.0- and 1.5-fold greater BMP2 production whereas mineralization increased an average of 3.0-fold and 2.5-fold for 0.01 and 0.1 μM fluvastatin, resp., over the 2 wk culture period. Therefore, fluvastatin-releasing hydrogels may be useful in bone tissue engineering applications, not only for triggering osteogenic differentiation of hMSCs, but also by modulating their function.

IT 916747-98-3P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);
USES (Uses)
(synthesis and characterization of a fluvastatin-releasing hydrogel delivery system to modulate hMSC differentiation and function for bone regeneration)

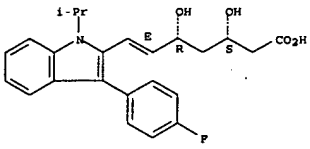
RN 916747-98-3 CA
CN 1,4-Dioxane-2,5-dione, 3,6-dimethyl-, polymer with oxirane, rel-(3R,5S,6E)-7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-6-heptenoate 2-methyl-2-propenoate, block (CA INDEX NAME)

CM 1

L5 ANSWER 7 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)

CRN 93957-54-1
CMF C24 H26 F N O4

Relative stereochemistry.
Double bond geometry as shown.



CM 2

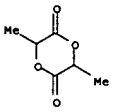
CRN 79-41-4
CMF C4 H6 O2

CM 3

CRN 168399-10-8
CMF (C6 H8 O4 . C2 H4 O)x
CCI PMS

CM 4

CRN 95-96-5
CMF C6 H8 O4



CM 5

L5 ANSWER 7 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)

CRN 75-21-8
CMF C2 H4 O

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 8 OF 83 CA COPYRIGHT 2007 ACS on STN

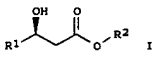
ACCESSION NUMBER: 146:45195 CA
TITLE: Enzymatic stereoselective reduction of keto groups in 3-ketopropionic acid derivatives
INVENTOR(S): Desai, Shrivallabh; Prabhu, Surekha K.; Melarkode, Ramakrishnan; Sambasivam, Ganesh; Suryanarayan, Shrikumar
PATENT ASSIGNEE(S): Biocon Limited, India
SOURCE: PCT Int. Appl., 16pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006131933	A1	20061214	WO 2005-IN187	20050608

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: WO 2005-IN187 20050608

OTHER SOURCE(S): CASREACT 146:45195; MARPAT 146:45195
GI



I

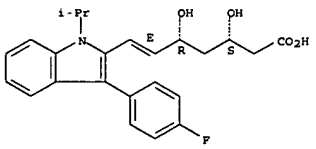
AB An enzymic stereoselective reduction of keto groups into chiral 3-hydroxypropionic acid derivs. [I; R1 = CH3, CH2Cl, CH2CH(OH)CH2CN; R2 = Me, Et, tert-Bu; where the conformation at CH(OH) in R1 is R] comprises contacting the corresponding 3-ketopropionic acid derivs. R1COCH2CO2R2 with a reductase of fungal Mucor genus (e.g., Mucor circinelloides, MTCC 5187).

IT 93957-54-1P, Fluvastatin
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of intermediates for the preparation of)

RN 93957-54-1 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

L5 ANSWER 8 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 9 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 145:426021 CA
TITLE: Processes for preparation of amorphous fluvastatin
INVENTOR(S): Bhirud, Shekhar Bhaskar; Sridharan, Ramasubramanian; Naik, Samir Jaivant
PATENT ASSIGNEE(S): Glenmark Pharmaceuticals Limited, India
SOURCE: PCT Int. Appl., 40pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006109147	A1	20061019	WO 2006-IB855	20060412

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

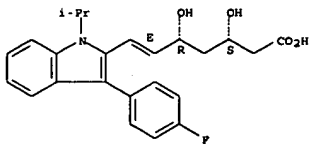
PRIORITY APPLN. INFO.: IN 2005-MU460 A 20050412
US 2005-677547P P 20050504

AB A process for producing fluvastatin or a salt thereof in a substantially pure amorphous form comprises (a) providing a solvent solution containing non-amorphous fluvastatin or a salt thereof in a solvent capable of dissolving the fluvastatin; and (b) recovering fluvastatin or a salt thereof in a substantially pure amorphous form. Also provided is an alternative process for producing fluvastatin or a salt thereof in a substantially pure amorphous form comprising (a) providing a solvent solution comprising a straight or branched C1-4 alkyl ester of non-amorphous fluvastatin in a lower alc. solvent or cyclic ether solvent capable of dissolving the fluvastatin; (b) hydrolyzing the fluvastatin ester solution with an aqueous solution comprising an alkali metal hydroxide; and (c) recovering fluvastatin or its salt.

IT 93957-54-1P, Fluvastatin
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(processes for preparation of amorphous fluvastatin)
RN 93957-54-1 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L5 ANSWER 9 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
Double bond geometry as shown.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 145:292712 CA
TITLE: Process for the preparation of 3-(N-methyl-N-phenylamino)acrolein from propargyl alcohol and N-methylaniline
INVENTOR(S): Deo, Keshav; Kanwar, Seema; Pandey, Anand; Prasad, Mohan; Kumar, Yatendra
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 23pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006090256	A1	20060831	WO 2006-IB395	20060227

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

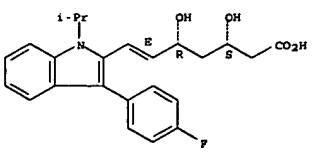
PRIORITY APPLN. INFO.: IN 2005-DE431 A 20050228

OTHER SOURCE(S): CASREACT 145:292712; MARPAT 145:292712

AB 3-(N-methyl-N-phenylamino)acrolein (I), an intermediate in the preparation of fluvastatin, is prepared by: (A) reacting N-methylaniline and propargyl alc. in presence of an oxidizing agent (e.g., manganese dioxide); and (B) isolating I from the reaction medium.

IT 93957-54-1P, Fluvastatin
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 93957-54-1 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



L5 ANSWER 10 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)

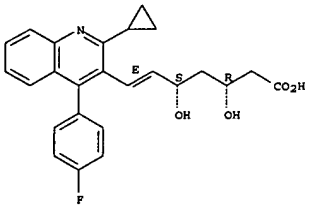
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 145:210748 CA
TITLE: Preparation of 2-amino-4'-fluorobenzophenone
INVENTOR(S): Zhuang, Luoyuan
PATENT ASSIGNEE(S): Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 7 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1690042	A	20051102	CN 2004-10014836	20040430
PRIORITY APPLN. INFO.:			CN 2004-10014836	20040430

OTHER SOURCE(S): CASREACT 145:210748
AB The title preparation method includes subjecting phthalic anhydride and fluorobenzene to Friedel-Crafts reaction to generate 2-(4-fluorobenzoyl)benzoic acid; reacting with thionyl chloride and ammonia sequentially to generate 2-(4-fluorobenzoyl)benzamide; subjecting the 2-(4-fluorobenzoyl)benzamide to Hofmann degradation to obtain crude 2-amino-4'-fluorobenzophenone; and crystallizing to obtain the final product with total yield >78.6% and purity >99%. This compound is an intermediate of anticholesteremic Pitavastatin.
IT 147511-69-1P, Pitavastatin
RL: PNU (Preparation, unclassified); PREP (Preparation)
(preparation of 2-amino-4'-fluorobenzophenone as intermediate of Pitavastatin)
RN 147511-69-1 CA
CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



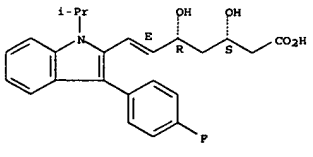
L5 ANSWER 11 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)

L5 ANSWER 12 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 145:167012 CA
TITLE: Process for preparation of fluvastatin and intermediates
INVENTOR(S): Zhu, Guorong; Gong, Hongquan
PATENT ASSIGNEE(S): Zhejiang Hisun Pharmaceutical Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 14 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1687032	A	20051026	CN 2005-10069558	20050516
PRIORITY APPLN. INFO.:			CN 2005-10069558	20050516

AB This invention pertains to a method for producing fluvastatin and intermediates [7-(1-isopropyl-3-(4-fluorophenyl)indol-2-yl)-3-hydroxy-5-oxohept-6-enoate]. The above key intermediate can be stereoselectively reduced to prepare fluvastatin.
IT 93957-55-2P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of fluvastatin and intermediates)
RN 93957-55-2 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

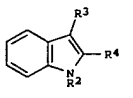


● Na

L5 ANSWER 13 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 144:468020 CA
TITLE: Process for preparation of 2-substituted indoles from dihalovinylanilines and organoboron reagents.
INVENTOR(S): Lautens, Mark; Fang, Yuanqing
PATENT ASSIGNEE(S): Can.
SOURCE: PCT Int. Appl., 172 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006047888	A1	20060511	WO 2005-CA1703	20051104
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			US 2004-625102P	P 20041105
			US 2005-662797P	P 20050318

OTHER SOURCE(S): MARPAT 144:468020
GI

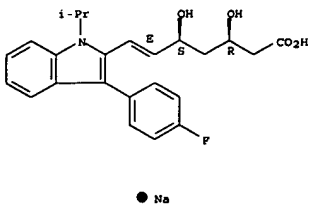


I

AB Title compds. [I; R2 = H, (substituted) alkyl, cycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl; R3 = H, (substituted) alkyl, haloalkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, aralkyl, heteroaralkyl; R4 = (substituted) mono- or polycyclic aryl, heteroaryl, alkyl, alkenyl bonded to the 2-position of the indole ring via a C-C bond) were prepared by reaction of ortho-dihalovinylanilines (II; X = Br, Cl, iodo; R2, R3 as above) with boronic esters, boronic acids, boronic acid anhydrides, trialkylboranes, or 9-BBN derivs. of R4 in the presence of base, Pd metal precatalyst, and a ligand. Thus, 2-(2,2-dibromovinyl)phenylamine, PhB(OH)2, K3PO4.H2O, Pd(OAc)2, and s-Phos were

L5 ANSWER 13 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
heated in PhMe at 90° for 6 h to give 84% 2-phenylindole.
IT 94061-80-0P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for preparation of substituted indoles from dihalovinylanilines and organoboron reagents)
RN 94061-80-0 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



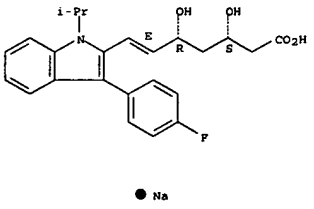
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 144:456528 CA
TITLE: A process for the synthesis of large particle size statin compounds.
INVENTOR(S): Suri, Sanjay; Sarin, Gurdeep Singh
PATENT ASSIGNEE(S): Morepen Laboratories Limited, India
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006048893	A2	20060511	WO 2005-IN359	20051103
WO 2006048893	A3	20060713		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IN 2004DE02206	A	20060818	IN 2004-DE2206	20041105
PRIORITY APPLN. INFO.:			IN 2004-DE2206 A	20041105

AB This invention discloses a process for synthesis of with large size statin compds. comprising adding solution of desired statin compound either crystalline or amorphous form, optionally obtained from, their intermediates by known methods, in organic solvent to anti-solvent, under stirring, optionally the solvent was being evaporated, isolating the title compound by centrifugation followed by drying under vacuum. Specifically the process was directed to the synthesis of atorvastatin calcium and fluvastatin sodium. Crystalline forms A and B of fluvastatin sodium were prepared by using the precipitation process from THF and heptane.
IT 93957-55-2P, Fluvastatin sodium
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for preparation of large particle size statin compds.)
RN 93957-55-2 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

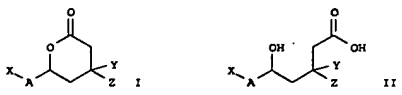
L5 ANSWER 14 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
Relative stereochemistry.
Double bond geometry as shown.



L5 ANSWER 15 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 144:390939 CA
TITLE: Preparation of azolylidihydroxyalkanoates and lactones thereof as inhibitors of MAP kinase and/or HMG-CoA reductase for the treatment of inflammation
INVENTOR(S): Griffin, John; Lanza, Guido; Yu, Jessen
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 126 pp., Cont.-in-part of U.S. Ser. No. 118,113.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006084695	A1	20060420	US 2005-262521	20051028
US 2005272770	A1	20051208	US 2005-118090	20050429
US 2005277653	A1	20051215	US 2005-118065	20050429
US 2005282883	A1	20051222	US 2005-118113	20050429
US 2005288306	A1	20051229	US 2005-118064	20050429
US 7163945	B2	20070116		
US 2006111436	A1	20060525	US 2005-118098	20050429
EP 1755607	A2	20070228	EP 2005-818178	20050429
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
US 2007004758	A1	20070104	US 2006-469417	20060831
US 2007015779	A1	20070118	US 2006-469419	20060831
PRIORITY APPLN. INFO.:			US 2004-567118P P	20040429
			US 2004-630683P P	20041123
			US 2004-630684P P	20041123
			US 2005-118113 A2	20050429
			US 2005-118064 A1	20050429
			US 2005-118065 A1	20050429
			WO 2005-US14843 W	20050429

OTHER SOURCE(S): MARPAT 144:390939
GI



AB Analogs of atorvastatin and its lactones I and II [wherein A = covalent

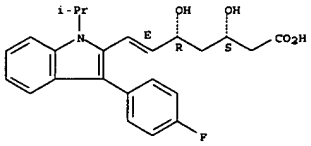
L5 ANSWER 15 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
bond, methylene, ethylene, etc.; X = lipophilic moiety; Y = H or lower alkyl; Z = H or OH] and salts of II were prepd. as inhibitors of MAP kinase and/or HMG-CoA reductase. Thus, atorvastatin calcium in EtOAc was treated with aq. NaHSO4 to give atorvastatin acid, which was heated in PhMe at 60° for 40 h to give atorvastatin lactone in 46% yield. The latter inhibited p38 MAP kinase with IC50 = 20 μM. Therefore, I and their pharmaceutical compns. are useful for the treatment of inflammation.

IT 93957-54-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azolyldihydroxyalkanoates and lactones thereof as inhibitors of MAP kinase and/or HMG-CoA reductase for treatment of inflammation)

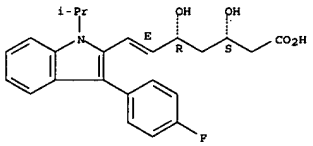
RN 93957-54-1 CA

CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



L5 ANSWER 16 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



● Na

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L5 ANSWER 16 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 144:369912 CA

TITLE: Process for the preparation of amorphous fluvastatin sodium from fluvastatin sodium prepared by the base hydrolysis of tert-butyl fluvastatin with sodium hydroxide

INVENTOR(S): Srinath, Sumithra; Puthiaprampil, Tom Thomas; Chandrapa, Ravindra; Ganesh, Sambasivam

PATENT ASSIGNEE(S): Biocon Limited, India

SOURCE: PCT Int. Appl., 8 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006038219	A1	20060413	WO 2004-IN310	20041005

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: WO 2004-IN310 20041005

OTHER SOURCE(S): CASREACT 144:369912

AB An environmentally friendly process for the preparation of amorphous form of fluvastatin sodium comprises: (a) dissolving sodium fluvastatin, prepared by the base hydrolysis of the tert-Bu fluvastatin ester with sodium hydroxide, in methanol followed by stirring; (b) concentrating the methanol extract to get a residue; and (c) isolating the residue to get the amorphous form of fluvastatin sodium.

IT 93957-55-2P, Fluvastatin sodium
RL: IMF (Industrial manufacture); PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(process for the preparation of amorphous fluvastatin sodium from fluvastatin sodium prepared by the base hydrolysis of tert-Bu fluvastatin with sodium hydroxide)

RN 93957-55-2 CA

CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

L5 ANSWER 17 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 144:357657 CA

TITLE: Process for preparation of enantiomerically pure fluvastatin sodium and a novel polymorphic form thereof

INVENTOR(S): De, Shantanu; Tripathi, Vinayak; Sathyanarayana, Swargam; Kumar, Yatendra

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006035286	A2	20060406	WO 2005-IB2843	20050926
WO 2006035286	A3	20060706		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: IN 2004-DE1842 A 20040927

IN 2004-DE1955 A 20041011

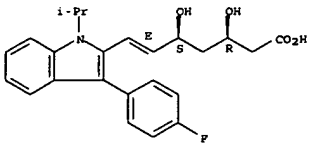
OTHER SOURCE(S): MARPAT 144:357657

AB The present invention provides processes for preparing enantiomerically pure fluvastatin sodium. The present invention also provides pharmaceutical compns. comprising the enantiomerically pure fluvastatin sodium for antagonizing HMG-CoA. In addition the present invention provides a novel polymorphic form of enantiomerically pure fluvastatin sodium. For example, a mixture of 3-(4'-fluorophenyl)-1-iso-Pr indole-2-carboxaldehyde 1.0 g and 1-methyl-(3R)-3-[(tert-butyldimethylsilyl)oxy]-5-oxo-6-triphenylphosphoranylidenehexanate 3.0 g in acetonitrile 60 mL was refluxed at 81 to 83° C for 48 h, cooled to room temperature and concentrated under vacuum at 40 to 45° C to yield a crude oil. The crude oil was suspended in cyclohexane 30 mL and concentrated, forming a residue. The residue was suspended in cyclohexane 30 mL and stirred for 1 h. The solids thus obtained were filtered, washed with cyclohexane (20 mL) and the combined filtrate and washings were concentrated to yield Me (3S,6E)-7-[3-(4-fluorophenyl)-1-isopropyl-1H-indol-2-yl]-3-[(tert-butyldimethylsilyl)oxy]-5-oxohept-6-enoate 1.53 g as an oil.

IT 94061-80-0P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for preparation of enantiomerically pure fluvastatin sodium and a

L5 ANSWER 17 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
novel polymorphic form thereof)
RN 94061-80-0 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



● Na

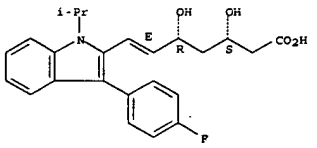
L5 ANSWER 18 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 144:318488 CA
TITLE: Novel forms of fluvastatin sodium, processes for preparation and pharmaceutical compositions thereof
INVENTOR(S): De, Shantanu; Tripathi, Vinayak; Sathyanarayana, Swargam; Jindal, Shantanu; Kumar, Yatendra
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006030304	A2	20060323	WO 2005-IB2754	20050916
WO 2006030304	A3	20061207		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IN 2005DE00428	A	20061110	IN 2005-DE428	20050228
PRIORITY APPLN. INFO.:			IN 2004-DE1766	A 20040917
			IN 2004-DE1848	A 20040927
			IN 2004-DE1956	A 20041011
			IN 2004-DE1958	A 20041011
			IN 2004-DE2168	A 20041029
			IN 2004-DE2170	A 20041029
			IN 2004-DE2172	A 20041029
			IN 2005-DE428	A 20050228
			IN 2005-DE1703	A 20050630

AB Provided are substantially amorphous fluvastatin sodium and amorphous Form R6 and R-14 of fluvastatin sodium. Also provided are crystalline forms of fluvastatin sodium designated as Forms R-1, R-2, R-3, R-4, R-5, R-7, R-8, R-9, R-10, R-11, R-12, R-13, R-15 and R-16 and an anhydrous crystalline form.

L5 ANSWER 18 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
Also provided are processes for prepg. such polymorphic forms and pharmaceutical compns. thereof. Also provided are methods for antagonizing HMG-CoA comprising administering to a mammal therapeutically effective amts. of the compds. described herein. Prepn. of cryst. and amorphous fluvastatin sodium by crystn. and pptn. from different solvent is described.
IT 93957-55-2P, Fluvastatin sodium
RL: PNU (Preparation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(novel forms of fluvastatin sodium, processes for preparation and pharmaceutical compns. thereof)
RN 93957-55-2 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



● Na

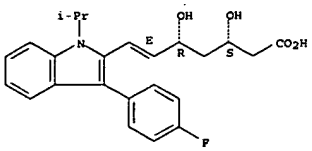
L5 ANSWER 19 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 144:253935 CA
TITLE: Process and intermediates for the selective synthesis of fluvastatin
INVENTOR(S): Zhu, Guorong; Gong, Hongquan; Becker, Stefan
PATENT ASSIGNEE(S): Zhejiang Hisun Pharmaceutical Co. Ltd., Peop. Rep. China; Tiefenbacher Pharmachemikalien Alfred E. Tiefenbacher (Gmbh & Co. Kg)
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006021326	A1	20060302	WO 2005-EP8701	20050811
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1634870	A1	20060315	EP 2004-20350	20040827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1740155	A	20060301	CN 2005-10093297	20050824
PRIORITY APPLN. INFO.:			EP 2004-20350	A 20040827

OTHER SOURCE(S): CASREACT 144:253935
AB The invention relates to process for the selective preparation of 3-hydroxy-6-dialkoxyphosphoryl-5-oxo-hexanoic acid esters, comprising a first step, in which a methylphosphonic acid dialkylester is treated with a base, and a second step, in which the product of the primary reaction is reacted with an optionally 3-protected 3-hydroxy-1,5-pentanoic diacid ester.
IT 93957-55-2P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process and intermediates for the selective synthesis of fluvastatin)
RN 93957-55-2 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

L5 ANSWER 19 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



● Na

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

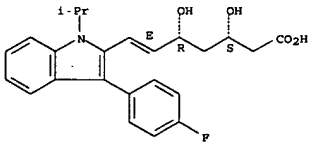
FORMAT

L5 ANSWER 20 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
agents synthesis, cyclic chiral 4,6-functionalized 1,3,2-dioxaborinane arylboronate esters)

RN 93957-54-1 CA

CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



L5 ANSWER 20 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 144:233196 CA

TITLE: Process for preparation of chiral cyclic arylboronate esters by esterification of 3,5-dihydroxycarboxylates with arylboronic acids

INVENTOR(S): Puthiaparampil, Tom Thomas; Srinath, Sumithra; Sridharan, Madhavan; Ganesh, Sambasivam

PATENT ASSIGNEE(S): India

SOURCE: U.S. Pat. Appl. Publ., 22 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006040898	A1	20060223	US 2004-923934	20040823
PRIORITY APPLN. INFO.:			US 2004-923934	20040823

OTHER SOURCE(S): CASREACT 144:233196; MARPAT 144:233196

AB Chiral optically active cyclic boronates, 2-Ar-6-XCH2-1,3,2-dioxaborinane-4-R3-acetates [Ar = (un)substituted C6-10 (hetero)aryl, R3 = (un)branched C1-8 alkyl, C6-10 aryl, aralkyl; X = OH, protected hydroxy, halo, CN] and aldehydoester derivs. 2-Ar-6-(OHC)-1,3,2-dioxaborinane-4-R3-acetates (same Ar, R3), useful as intermediates for the synthesis of anti-hypercholesterolemia HMG-CoA enzyme inhibitors such as atorvastatin, cerivastatin, rosuvastatin, pitavastatin, and fluvastatin (no data) were prepared by improved process comprising Claisen condensation of protected 3,4-dihydroxybutyrate with MeCO2tBu, followed by reduction of the ketoester to 6-trityloxy 3,5-dihydroxyhexanoate, esterification with ArB(OH)2 and deprotection of the exocyclic hydroxy-group; thus obtained 6-hydroxymethyl 2-Ar-1,3,2-dioxaborinane-4-R3-acetates were converted to the corresponding 6-halomethyl, 6-cyanomethyl and 6-formyl derivs. by substitution and oxidation reactions. In an example, Me (3S)-4-trityloxy-3-hydroxybutyrate was converted to tert-Bu (5S)-5-hydroxy-3-oxo-6-(trityloxy)hexanoate by LDA-initiated condensation with tert-Bu acetate; stereoselective reduction of the product by methoxydiethylborane yielded tert-Bu (3R,5S)-3,5-dihydroxy-6-(trityloxy)hexanoate (3). In another example, the dihydroxy-derivative 3 was esterified by ArB(OH)2 to give after deprotection the hydroxymethyl derivs. tert-Bu (4R,5S)-2-Ar-6-HOCH2-1,3,2-dioxaborinane-4-acetates (Ar = Ph, 1-naphthalenyl, 4-MeOC6H4, 8-quinolinyl, 3-NO2C6H4, 2,6-F2C6H3); the phenylboronic derivative was converted to 6-cyanomethyl- and 6-formyl-substituted (4R,5S)-2-Ar-1,3,2-dioxaborinane-4-acetates.

IT 93957-54-1P, Fluvastatin
RL: PNU (Preparation, unclassified); PREP (Preparation)
(improved process for preparation of intermediates of anticholesteremic

L5 ANSWER 21 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 144:219332 CA

TITLE: Pharmaceutical compositions containing novel statins in omega-3 oil solutions and related methods of treatment

INVENTOR(S): Guzman, Hector; Almarsson, Oern; Remenar, Julius

PATENT ASSIGNEE(S): Transform Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

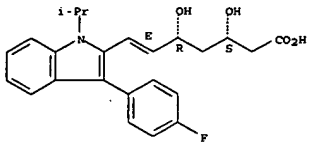
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2006017698	A2	20060216	WO 2005-US27815		20050805
WO 2006017698	A3	20060706			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005271413	A1	20060216	AU 2005-271413		20050805
US 2006034815	A1	20060216	US 2005-197880		20050805
PRIORITY APPLN. INFO.:			US 2004-599543P	P	20040806
			US 2004-623518P	P	20041029
			US 2005-655982P	P	20050224
			WO 2005-US27815	W	20050805

AB The invention provides novel omega-3 oil solns. of one or more statins. These solns. are readily bioavailable. Notably, because the solns. of the invention contain an omega-3 oil as the major ingredient, they not only provide an antihypercholesterolemic effect due to the statin active ingredient, they also provide recommended daily dosages of omega-3 oils (i.e., approx. 1 g of omega-3 oil per day), or a portion thereof. The invention also provides novel salts of one or more statins. Pravastatin calcium was prepared by the reaction of pravastatin sodium with calcium acetate. Stability of pravastatin salts suspended in E463808 omega-3 oil in capped glass vials at 40 and 60 degrees C was studied. The calcium salt was shown to degrade substantially less than either the sodium or the potassium salt at a given temperature Surprisingly, the calcium sample at 60 degrees C showed significantly less degradation than the potassium salt at 40 degrees C and was similar to the sodium salt at 40 degrees C over a period of 8 wk.

L5 ANSWER 21 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
IT 500103-16-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pharmaceutical compns. containing novel statins in omega-3 oil solns. and related methods of treatment)
RN 500103-16-2 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, calcium salt (2:1), (3S,5R,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



●1/2 Ca

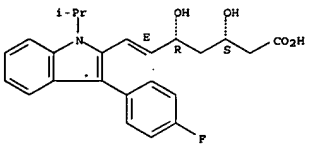
L5 ANSWER 22 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 144:198898 CA
TITLE: Method for binding hydrohpihlic substances to fine carbon fibers
INVENTOR(S): Kurita, Tomotaka; Kohama, Hiromasa
PATENT ASSIGNEE(S): Terumo Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006036638	A	20060209	JP 2004-213842	20040722
PRIORITY APPLN. INFO.:			JP 2004-213842	20040722

AB The invention relates to a process for binding a hydrophilic substance, e.g. a drug or a imaging agent, to fine carbon fiber, e.g. carbon nanofiber, carbon nanotube, and carbon nanohorn, etc. as drug carrier, wherein the method includes protecting hydrophilic group of the substance, dissolving the hydrophobilized substance in subcrit. or supercrit. fluid and binding them to fine carbon fiber, and deprotecting the substance. For example, doxorubicin hydrochloride was reacted with di-tert-Bu dicarbonate to form N-Boc doxorubicin. The N-Boc doxorubicin was dissolved in supercrit. carbon dioxide fluid with multilayered carbon fiber. Then, the carbon fiber was mixed with HCl/acetic acid solution, and dried to give doxorubicin hydrochloride-bound carbon fiber.
IT 93957-55-2P, Fluvastatin sodium
RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)
(method for binding hydrohpihlic substances to fine carbon fiber)
RN 93957-55-2 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

L5 ANSWER 22 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



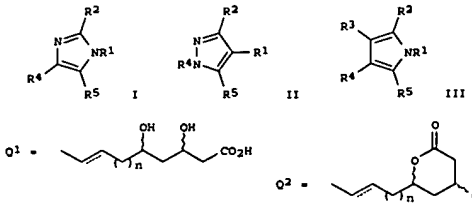
● Na

L5 ANSWER 23 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 144:6807 CA
TITLE: Preparation of azolyldihydroxyalkanoates and lactones thereof as inhibitors of MAP kinase and/or HMG-CoA reductase.
INVENTOR(S): Griffin, John; Lanza, Guido; Yu, Jessen
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 129 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005261354	A1	20051124	US 2005-118066	20050429
US 7183285	B2	20070227		
US 2005272770	A1	20051208	US 2005-118090	20050429
US 2005277653	A1	20051215	US 2005-118065	20050429
US 2005288306	A1	20051229	US 2005-118064	20050429
US 7163945	B2	20070116		
WO 2006028524	A2	20060316	WO 2005-US14843	20050429
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006111436	A1	20060525	US 2005-118098	20050429
EP 1755607	A2	20070228	EP 2005-818178	20050429
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
US 2007004758	A1	20070104	US 2006-469417	20060831
US 2007015779	A1	20070118	US 2006-469419	20060831
PRIORITY APPLN. INFO.:			US 2004-567118P	P 20040429
			US 2004-630683P	P 20041123
			US 2004-630684P	P 20041123
			US 2005-118064	A1 20050429
			US 2005-118065	A1 20050429
			WO 2005-US14843	W 20050429

OTHER SOURCE(S): MARPAT 144:6807
GI

L5 ANSWER 23 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



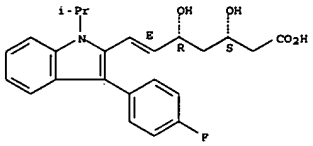
AB Title compds. e.g. [I, II, III; R1 = Q1, Q2; n = 0, any integer; R2 = (substituted) alkyl, aryl, heteroaryl; R3 = any substituent; R4 = (substituted) pyrimidinyl, pyridyl, imidazolyl; R5 = (substituted) aryl, heteroaryl; and salts thereof], were prepared Thus, atorvastatin calcium in EtOAc was treated with aqueous NaHSO4 to give atorvastatin acid, which was heated in PhMe at 60° for 40 h to give 46% atorvastatin lactone. The latter inhibited p38 MAP kinase with IC50 = 20 μM.

IT 93957-54-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azolyldihydroxyalkanoates and lactones thereof as inhibitors of MAP kinase and/or HMG-CoA reductase)

RN 93957-54-1 CA

CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 110 THERE ARE 110 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 24 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 143:139169 CA
TITLE: Preparation of crystal form of pitavastatin calcium
INVENTOR(S): Ohara, Yoshio; Takada, Yasutaka; Matsumoto, Hiroo; Yoshida, Akihiro
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005063711	A1	20050714	WO 2004-JP19451	20041217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004309241	A1	20050714	AU 2004-309241	20041217
CA 2551050	A1	20050714	CA 2004-2551050	20041217
EP 1697326	A1	20060906	EP 2004-807807	20041217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1898211	A	20070117	CN 2004-80038955	20041217
PRIORITY APPLN. INFO.:			JP 2003-431788 A	20031226
			WO 2004-JP19451 W	20041217

AB A method for producing a drug substance of crystalline pitavastatin calcium excellent in stability, is presented. In the production of a compound (pitavastatin calcium) the water content is adjusted to a level of 5-15%, and the crystal form is controlled to be crystal form A, thereby to obtain the drug excellent in stability.

IT 147526-32-7P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of crystal form of pitavastatin calcium)

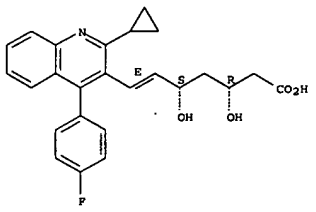
RN 147526-32-7 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.

L5 ANSWER 23 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)

L5 ANSWER 24 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



●1/2 Ca

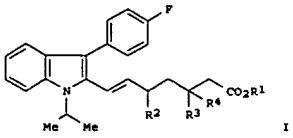
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 25 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 143:115390 CA
TITLE: Process for preparation of statins with high syn to anti ratio
INVENTOR(S): Lifshitz-Liron, Revital; Perlman, Nurit
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005063728	A2	20050714	WO 2004-US43466	20041223
WO 2005063728	A3	20060223		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2550742	A1	20050714	CA 2004-2550742	20041223
US 2005159615	A1	20050721	US 2004-20834	20041223
EP 1697338	A2	20060906	EP 2004-815531	20041223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
TW 258370	B	20060721	TW 2004-93140548	20041224
PRIORITY APPLN. INFO.:			US 2003-532458P P	20031224
			US 2004-547715P P	20040224
			WO 2004-US43466 W	20041223

OTHER SOURCE(S): CASREACT 143:115390; MARPAT 143:115390
GI

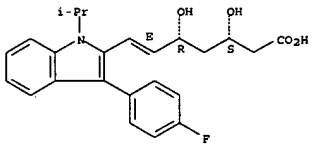
L5 ANSWER 25 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



I

AB A process was disclosed for reduction of statin ketoesters, such as RCH(Y)CH(OH)CH2COCH2CO2R1 [R = organic radical that is inert to redn and allows for inhibition of 3-hydroxy-3-methylglutaryl CoA; Y = H or forms a double bond with the R group; R1 = alkyl] and purification of the corresponding syn-diol esters syn-RCH(Y)CH(OH)CH2CH(OH)CH2CO2R1 of the statins via selective crystallization Thus, β-keto ester I (R1 = CMe3, R2 = OH, R3R4 = O) was reduced using 9-methoxy-9-borabicyclo[3.3.1]nonane and sodium borohydride in methanol at -70° for 2 h followed by treatment with 30% H2O2 soln to give syn-diol ester I (R1 = CMe3, R2 = R3 = β-OH, R4 = α-H) in 73% yield and 99.0:0.45 d.e. The syn-diol ester was further purified by crystallization and subsequently treated with 47% NaOH to form fluvastatin sodium salt I (R1 = Na, R2 = R3 = β-OH, R4 = α-H) in 87% yield.
IT 93957-54-1P, Fluvastatin
RL: IMF (Industrial manufacture); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; process for preparation of statins with high syn to anti ratio via stereoselective ketone reduction)
RN 93957-54-1 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

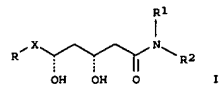


L5 ANSWER 25 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)

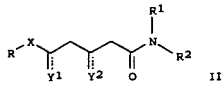
L5 ANSWER 26 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 143:26493 CA
TITLE: Preparation of syn-1,3-diols by stereoselective reduction
INVENTOR(S): Wang, Wei-Chi; Ikemoto, Tetsuya
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.
CODEN: JKXXAP
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005145833	A	20050609	JP 2003-381816	20031111
PRIORITY APPLN. INFO.:			JP 2003-381816	20031111

GI



I

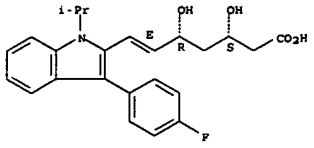


II

AB Title compds. I [X = CH:CH, CH2CH2, OCH2; R = aromatic group having inert group; R1, R2 = lower alkyl; NR1R2 may form (O-containing) nonarom. heterocyclyl], useful as hypolipemic agents (no data), are prepared by (A) mixing R32BOR4 (R3 = lower alkyl; R4 = lower alkyl, aryl) or R53B with NaBH4 in lower alc.-THF mixed solvent system and (B) reduction of keto alcs. II (Y1 or Y2 = O; the other = OH; the broken line may be bond; X, R, R1, R2 = same as above) with the mixts. Preparation of (cyclization products of) carboxylic acids (salts) corresponding to the products is also claimed. Thus, THF-MeOH solution of 7-[3-(4-fluorophenyl)-1-isopropyl-1H-indol-2-yl]-5-hydroxy-3-oxo-hept-6E-enoic acid dimethylamide was added to THF-MeOH solution containing NaBH4 and Et2BOMe at -78° over 35 min and the reaction mixture was stirred for 2.5 h to give the corresponding syn-1,3-diol with 79.4% yield.
IT 93957-55-2P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);

L5 ANSWER 26 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
USES (Uses)
(prepn. of syn-diols as hypolipemic agents by stereoselective redn. of keto alcs. in mixed solvent system)
RN 93957-55-2 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



● Na

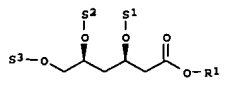
L5 ANSWER 27 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 143:7599 CA
TITLE: Preparation of 2,4-dideoxy-D-erythro-hexonic acid and related compounds
INVENTOR(S): Tararov, Vitali; Koenig, Gerd; Boerner, Armin
PATENT ASSIGNEE(S): Ratiopharm G.m.b.H., Germany
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005047276	A2	20050526	WO 2004-EP12659	20041109
WO 2005047276	A3	20051006		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10352659	A1	20050616	DE 2003-10352659	20031111
AU 2004289433	A1	20050526	AU 2004-289433	20041109
CA 2545316	A1	20050526	CA 2004-2545316	20041109
EP 1682527	A2	20060726	EP 2004-797735	20041109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				
CN 1878763	A	20061213	CN 2004-80033213	20041109
NO 2006002656	A	20060609	NO 2006-2656	20060609

PRIORITY APPLN. INFO.: DE 2003-10352659 A 20031111
WO 2004-EP12659 W 20041109

GI

L5 ANSWER 27 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



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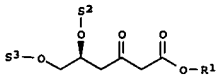
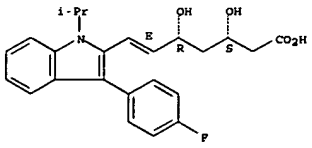


II

AB Title compds. I [R1 = H, carboxyl protecting group; S1 = H, hydroxyl protecting group; S2, S3 = hydroxyl protecting group] were prepared via the
selective reduction of β-diketones II. For example, BINAP-Ru catalyzed hydrogenation of diketone II [S2-S3 = C(CH3)2; R1 = Et] afforded β-hydroxyl ketone I [S2-S3 = C(CH3)2; R1 = Et] in 99% yield. Compds. I are claimed to be useful intermediates in the synthesis of fluvastatin, rosuvastatin, cerivastatin, glenvastatin and atorvastatin.
IT 93957-54-1P, Fluvastatin
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 2,4-dideoxy-D-erythro-hexonic acid and related compds.)
RN 93957-54-1 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



L5 ANSWER 28 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 143:7598 CA
TITLE: Saponification process for the preparation of fluvastatin sodium polymorphic crystal form XIV
INVENTOR(S): Frenkel, Gustavo; Gilboa, Eyal
PATENT ASSIGNEE(S): Israel
SOURCE: U.S. Pat. Appl. Publ., 19 pp., Cont.-in-part of U.S. Ser. No. 871,916.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

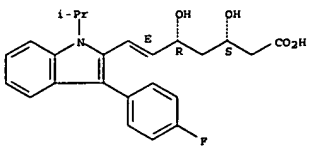
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005119342	A1	20050602	US 2004-920112	20040817
US 2005038114	A1	20050217	US 2004-871916	20040618
EP 1719759	A2	20061108	EP 2006-17225	20040618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
EP 1719760	A2	20061108	EP 2006-17518	20040618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
EP 1726583	A2	20061129	EP 2006-17224	20040618
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
EP 1752448	A2	20070214	EP 2006-17519	20040618
EP 1752448	A3	20070314		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.:
US 2003-479182P P 20030618
US 2003-483099P P 20030630
US 2003-485748P P 20030710
US 2003-493793P P 20030811
US 2003-507954P P 20031003
US 2004-545466P P 20040219
US 2004-871916 A2 20040618
EP 2004-755797 A3 20040618

AB A process for preparing a polymorphic crystalline form of fluvastatin sodium
characterized by a powder X-ray diffraction pattern with peaks at 3.8, 11.1, 12.9, 17.8 and 21.7±0.2 degrees 2θ comprises: (A) combining a C1-4 alkyl ester of fluvastatin with acetonitrile at a ratio of about 1:4-6 kgL of the ester to acetonitrile, and with water at a ratio of about
1: 1.3-1:2 kgL of the ester to the water, to obtain a mixture; (B) combining
sodium hydroxide with the mixture to saponify the ester obtaining a solution,
where if aqueous sodium hydroxide is used, the water ratio does not exceed

L5 ANSWER 28 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
that provided in step (A); (C) combining addnl. acetonitrile with the soln. to ppt. cryst. fluvastatin sodium; and (D) recovering the cryst. fluvastatin sodium.
IT 93957-55-2P, Fluvastatin sodium
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(saponification process for the preparation of fluvastatin sodium polymorphic
crystal form XIV)
RN 93957-55-2 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



● Na

L5 ANSWER 29 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 142:435812 CA
TITLE: Preparation of a polymorph of fluvastatin sodium
INVENTOR(S): Frenkel, Gustavo; Gilboa, Eyal
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

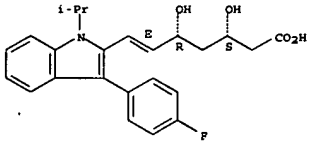
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005040113	A1	20050506	WO 2004-US26673	20040817
WO 2005040113	A8	20050721		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005038114	A1	20050217	US 2004-871916	20040618
EP 1719759	A2	20061108	EP 2006-17225	20040618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
EP 1719760	A2	20061108	EP 2006-17518	20040618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
EP 1726583	A2	20061129	EP 2006-17224	20040618
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
EP 1752448	A2	20070214	EP 2006-17519	20040618
EP 1752448	A3	20070314		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CA 2541720	A1	20050506	CA 2004-2541720	20040817
EP 1667968	A1	20060614	EP 2004-781379	20040817
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1886371	A	20061227	CN 2004-80035532	20040817
PRIORITY APPLN. INFO.:			US 2003-507954P	P 20031003
			US 2004-545466P	P 20040219
			US 2004-871916	A 20040618
			US 2003-479182P	P 20030618
			US 2003-483099P	P 20030630

L5 ANSWER 29 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)

US 2003-485748P	P	20030710
US 2003-493793P	P	20030811
EP 2004-755797	A3	20040618
WO 2004-US26673	W	20040817

AB Provided are processes for preparing a polymorphic form of fluvastatin sodium
with PXRD peaks at 3.8, 11.1, 12.9, 17.8 and 21.7 0.2 degrees two-theta.
IT 93957-55-2P, Fluvastatin sodium
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of a polymorph of fluvastatin sodium)
RN 93957-55-2 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



● Na

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

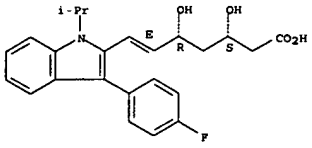
L5 ANSWER 30 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 142:417153 CA
TITLE: Crystalline form of fluvastatin sodium
INVENTOR(S): Van Der Schaaf, Paul Adriaan; Blatter, Fritz; Szelagiewicz, Martin
PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz.
SOURCE: PCT Int. Appl., 11 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037787	A1	20050428	WO 2004-EP52449	20041006
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2006241167	A1	20061026	US 2006-576784	20060421
PRIORITY APPLN. INFO.:			EP 2003-103841	A 20031016
			WO 2004-EP52449	W 20041006

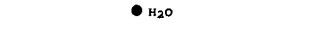
AB A novel crystalline form of Fluvastatin sodium hydrate is described, referred
to hereinafter as polymorphic Form G. Furthermore, processes for the preparation of this crystalline form and pharmaceutical compns. comprising this
crystalline form are reported. For example, fluvastatin sodium Form A 300 mg
were suspended in 1 mL water and stirred for 18 h. The solid residue was separated by filtration. Without any further drying, the obtained solid paste
showed a characteristic x-ray powder diffraction pattern for Form G.
IT 201541-53-9P, Fluvastatin sodium monohydrate
RL: PNU (Preparation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(crystalline form of fluvastatin sodium hydrate)
RN 201541-53-9 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, monohydrate, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

L5 ANSWER 30 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



● Na



● H_2O

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L5 ANSWER 31 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 142:280050 CA

TITLE: Novel process for preparation of 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-6-heptenoic acid sodium salt

INVENTOR(S): Srinath, Sumithra; Puthiaparampil, Tom Thomas; Ganesh, Sambasivam

PATENT ASSIGNEE(S): Biocon Limited, India

SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019170	A1	20050303	WO 2003-IN287	20030826
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003269477	A1	20050310	AU 2003-269477	20030826
PRIORITY APPLN. INFO.:			WO 2003-IN287 A	20030826

OTHER SOURCE(S): CASREACT 142:280050

AB A process for the preparation of (3R,5S,6E)-7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-6-heptenoic acid (I) sodium salt, also known as fluvastatin sodium, comprises (a) treating a solution of I or its salt with a suitable cation to afford an insol. salt I, (b) isolation of the insol. salt of I, (c) conversion of the insol. salt of I to I sodium salt. This process is economic since expensive reactants/reagents are not employed. It is simple since it involves salt formation at ambient conditions. Also it is a highly efficient purification method, as only salt of required product ppts. All impurities which do not form salt with second cation remain in the solution, thus resulting in isolation of the product with a high degree of purity. No further purification of the product is required and hence the number of steps is reduced. It results in high yields as no byproducts are formed and recovery of insol. salt is almost quant. It also results in high isomeric purity of the product, as it avoids lactonization and saponification, during which epimerization may occur. Thus, to a solution of [(4R,6S)-6-[(1E)-2-[3-(4-fluorophenyl)-1-

L5 ANSWER 31 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)

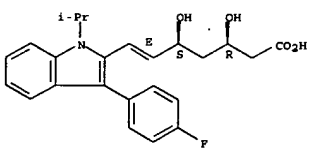
isopropyl-1H-indol-2-yl]vinyl]-2,2-dimethyl-[1,3]dioxan-4-yl]acetic acid tert-Bu ester (2.5 g, 0.005 mol) in MeCN (40 mL), aq. HCl (7.5 mL, 0.1 N) was added and stirred for 2 h at 30-35°. After cooling the reaction mixt. to room temp., aq. sodium hydroxide (10 mL, 10%) was added and stirred for 16 h at room temp. The reaction mixt. was concd. under reduced pressure and water (30 mL) was added to the residue. The soln. was further concd. (25 mL vol.) and extd. with Me tert-Bu ether (2 x 15 mL). After adjusting the pH of aq. layer to 7.0-7.2 by adding aq. HCl (1.0 N), a soln. of calcium acetate (0.6 g, 0.0038 mol) in water (10 mL) was added under stirring at 20-22°. The reaction mixt. was further stirred for 30 min to completely ppt. calcium salt of fluvastatin. It was filtered and dried to give pure fluvastatin calcium salt which was suspended in water (15 mL) and pH of the mixt. was adjusted to 4.0-5.0 by adding aq. HCl (1.5 N). The aq. layer was extd. with ether (2 x 15 mL), combined ether ext. was washed with brine and concd. The residue was mixed with water (15 mL) and a soln. of sodium hydroxide (0.14 g, 0.035 mol) in water (1 mL) was added. After stirring for 15 min, the reaction mixt. was washed with ether (2 x 15 mL). The aq. layer was freeze-dried to get pure fluvastatin sodium salt.

IT 634902-71-9P
RL: RCT (Reactant); PREP (Preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; novel process for preparation of 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-6-heptenoic acid sodium salt via precipitation of insol. salt and its conversion to sodium salt)

RN 634902-71-9 CA

CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



●1/2 Ca

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L5 ANSWER 32 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 142:79939 CA

TITLE: Preparation of fluvastatin sodium crystal forms for pharmaceuticals

INVENTOR(S): Revital, Lifshitz-Liron; Tamas, Koltai; Aronhime, Judith; Perlman, Nurit; Sharon, Avhar-Maydan

PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.

SOURCE: PCT Int. Appl., 284 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004113292	A2	20041229	WO 2004-US19882	20040618
WO 2004113292	B1	20051110		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2529820	A1	20041229	CA 2004-2529820	20040618
US 2005032884	A1	20050210	US 2004-872089	20040618
EP 1636184	A2	20060322	EP 2004-755798	20040618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1849304	A	20061018	CN 2004-80023315	20040618
EP 1719759	A2	20061108	EP 2006-17225	20040618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
EP 1719760	A2	20061108	EP 2006-17518	20040618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
EP 1726583	A2	20061129	EP 2006-17224	20040618
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
EP 1752448	A2	20070214	EP 2006-17519	20040618
EP 1752448	A3	20070314		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.:	US 2003-479182P	P 20030618
	US 2003-483099P	P 20030630
	US 2003-485748P	P 20030710
	US 2003-493793P	P 20030811
	US 2003-507954P	P 20031003

L5 ANSWER 32 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)

	US 2004-545466P	P	20040219
	EP 2004-755797	A3	20040618
	WO 2004-US19882	W	20040618

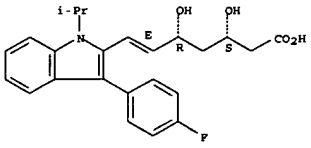
AB Provided are crystal forms of fluvastatin sodium and processes for their preparation Thus, fluvastatin Me ester was dissolved in acetone and NaOH solution in acetone was added. The product, fluvastatin sodium crystal Form I was dried at 50°.

IT 93957-55-2P, Fluvastatin sodium
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of fluvastatin sodium crystal forms for pharmaceuticals)

RN 93957-55-2 CA

CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



● Na

L5 ANSWER 33 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 142:79938 CA

TITLE: Preparation of different crystal forms of fluvastatin sodium for pharmaceuticals

INVENTOR(S): Revital, Lifshitz-Liron; Koltai, Tamas; Aronhime, Judith; Perlman, Nurit

PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.

SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004113291	A2	20041229	WO 2004-US19879	20040618
WO 2004113291	A3	20050414		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2529859	A1	20041229	CA 2004-2529859	20040618
US 2005032884	A1	20050210	US 2004-872089	20040618
EP 1638937	A2	20060329	EP 2004-755797	20040618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
EP 1719759	A2	20061108	EP 2006-17225	20040618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
EP 1719760	A2	20061108	EP 2006-17518	20040618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
EP 1726583	A2	20061129	EP 2006-17224	20040618
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
EP 1752448	A2	20070214	EP 2006-17519	20040618
EP 1752448	A3	20070314		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.:			
	US 2003-479182P	P	20030618
	US 2003-483099P	P	20030630
	US 2003-485748P	P	20030710
	US 2003-493793P	P	20030811

L5 ANSWER 33 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)

	US 2003-507954P	P	20031003
	US 2004-545466P	P	20040219
	EP 2004-755797	A3	20040618
	WO 2004-US19879	W	20040618

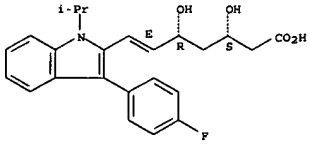
AB Provided are polymorphic forms of fluvastatin sodium and processes for their preparation Thus, fluvastatin sodium was suspended in a mixture of toluene and hexane, the mixture was cooled and the product, a crystal form XIV of the drug, was obtained.

IT 93957-55-2P, Fluvastatin sodium
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of different crystal forms of fluvastatin sodium for pharmaceuticals)

RN 93957-55-2 CA

CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



● Na

L5 ANSWER 34 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 142:74716 CA

TITLE: Preparation of novel boronate esters as useful precursors for synthesis of HMG-CoA enzyme inhibitors

INVENTOR(S): Melarkode, Ramakrishan; Tiwari, Sanjay; Suryanarayan, Shrikumar; Khedkar, Anand

PATENT ASSIGNEE(S): Biocon Limited, India

SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

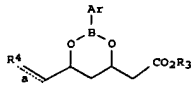
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004113314	A1	20041229	WO 2004-IN175	20040618
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:			
	IN 2003-MA508	A	20030623

OTHER SOURCE(S): CASREACT 142:74716; MARPAT 142:74716

GI



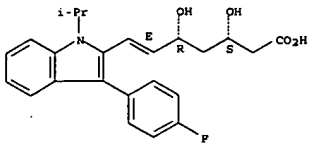
I

AB The present invention relates to optically active dihydroxy hexanoate derivs., boronate esters, I (Ar = (un)substituted aryl, heteroaryl, R3 = C1-8 alkyl, aryl, aralkyl, R4 = O, OH, CN, halo; a = single bond or a double bond.) which are useful intermediates for the synthesis of HMG-CoA enzyme inhibitors like atorvastatin, cerivastatin, rosuvastatin, pitavastatin, fluvastatin. Thus, preparation of tert-Bu 6-oxo-3,5-phenylboranatohexanoate is described in several steps starting from Me 3,4-dihydroxybutanoate.

IT 93957-54-1P, Fluvastatin
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of novel boronate esters as useful precursors for synthesis of HMG-CoA enzyme inhibitors such as atorvastatin, cerivastatin,

L5 ANSWER 34 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
rosuvastatin, pitavastatin, and fluvastatin)
RN 93957-54-1 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

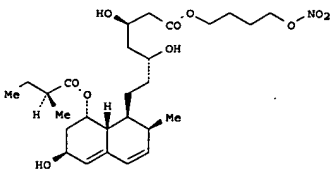
L5 ANSWER 35 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 142:38061 CA
TITLE: Preparation of nitrooxy derivatives of fluvastatin, pravastatin, cerivastatin, atorvastatin and rosuvastatin as cholesterol-reducing agents with improved anti-inflammatory, antithrombotic and anti-platelet activity
INVENTOR(S): Benedini, Francesca; Ongini, Ennio; Del Soldato, Piero
PATENT ASSIGNEE(S): Nicox S. A., Fr.
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004105754	A1	20041209	WO 2004-EP50897	20040524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005165084	A1	20050728	US 2004-849561	20040520
US 7166638	B2	20070123		
AU 2004243443	A1	20041209	AU 2004-243443	20040524
CA 2527168	A1	20041209	CA 2004-2527168	20040524
EP 1626716	A1	20060222	EP 2004-741636	20040524
EP 1626716	B1	20070207		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 2004010049	A	20060425	BR 2004-10049	20040524
CN 1794987	A	20060628	CN 2004-80014498	20040524
AT 353214	T	20070215	AT 2004-741636	20040524
NO 2005006152	A	20051223	NO 2005-6152	20051223

PRIORITY APPLN. INFO.: EP 2003-101530 A 20030527
WO 2004-EP50897 W 20040524

OTHER SOURCE(S): MARPAT 142:38061
GI

L5 ANSWER 35 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



I

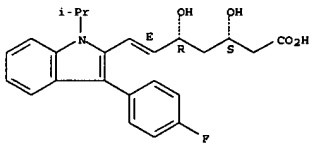
AB Nitrooxy derivs. of therapeutic agents, such as RCO-X-Y-ONO2 [RCO = acyl residue of therapeutic agents, including statin acids, such as fluvastatin, pravastatin, cerivastatin, atorvastatin and rosuvastatin, ACE inhibitors, angiotensin II receptor antagonists, β-adrenergic blockers, calcium channel blockers, antithrombotics and aspirin; X = O, S, NR1; Y = linking group, such as, alkylene or phenylene alone or in combination; R1 = H, alkyl], with improved pharmacol. activity and enhanced tolerability were prepared for therapeutic use in treating and/or preventing several diseases, in particular coronary syndromes and neurodegenerative disorders and autoimmune disorders , as well as for reducing cholesterol levels. The vascular disorders for treatment include acute coronary syndromes, stroke, peripheral vascular diseases, disorders associated with endothelial dysfunction, peripheral ischemia, vascular complications in diabetic patients and atherosclerosis. The neurodegenerative diseases for treatment include Alzheimer's disease, Parkinson's disease and multiple sclerosis. Thus, ester I was prepared via an esterification reaction of pravastatin sodium with 1,4-dibromobutane n DMF and subsequent treatment of the resulting 4-bromobutanyl pravastatin ester with silver nitrate in MeCN. The prepared nitrooxy statin derivs. were assayed for their ability to induce vasorelaxation, for their effect in vitro on inflammatory pathways, for activity on peripheral vascular disease, for effect on leukocyte adhesion, for antithrombotic activity, for anti-platelet activity, and for inhibition of tissue factor expression.

IT 93957-54-1DP, Fluvastatin, derivs.
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitrooxy derivs. of fluvastatin, pravastatin, cerivastatin, atorvastatin and rosuvastatin as cholesterol-reducing agents with improved anti-inflammatory, antithrombotic and anti-platelet activity)

RN 93957-54-1 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

L5 ANSWER 35 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



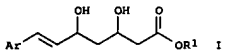
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L5 ANSWER 36 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 141:395414 CA
TITLE: Method for separation of optically active aryldihydroxyheptenoic acid esters
INVENTOR(S): Kudo, Keiko; Tachibana, Kozo; Murazumi, Koichi
PATENT ASSIGNEE(S): Daicel Chemical Industries Ltd., Japan
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004094377	A1	20041104	WO 2004-JP5924	20040423
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1623976	A1	20060208	EP 2004-729271	20040423
R: CH, DE, FR, GB, IT, LI, IE				
CN 1809535	A	20060726	CN 2004-80017122	20040423
US 2006079708	A1	20060413	US 2005-254856	20051021
PRIORITY APPLN. INFO.:			JP 2003-119819 A	20030424
			WO 2004-JP5924 W	20040423

OTHER SOURCE(S): MARPAT 141:395414
GI



I

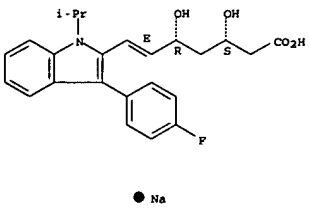
AB An optically active aryldihydroxyheptenoic acid ester having an aromatic group (I) [wherein Ar = (un)substituted or optionally fused carbocyclic or heterocyclic aromatic group; R1 = C1-20 alkyl, Ph, C7-18 aralkyl] is separated from a solution containing a mixture of optical isomers of the dihydroxyheptenoic acid ester by liquid chromatog. with a packing material constituted of a carrier and a polysaccharide derivative supported on the carrier. The polysaccharide derivative is a polysaccharide in which the hydrogen atoms constituting the hydroxyl and amino groups are partially or all replaced by one or more kinds of groups selected from among carbamoyl groups monosubstituted with arom. groups having specific alkyl groups and benzoyl groups having specific alkyl groups. According to the invention, optically active aryldihydroxyheptenoic acid esters can be sepd. more distinctly. Thus, (3R,5S,6E)-rel-7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-6-heptenoic acid monosodium salt was extd. from Lescol (NOVARTIS Pharmaceutical Corp.) by CHCl3 at reflux and converted into (3R,5S,6E)-rel-7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-6-heptenoic acid Me ester (II) by treatment with Me iodide in DMF in the presence of Li2CO3. Cellulose (50 g) was suspended in pyridine, treated with 310 g 4-isopropylphenyl isocyanate, and refluxed for 24 h to give cellulose tris(4-isopropylphenylcarbamate) (III) which was dissolved in acetone, and uniformly poured onto silica gel (av. diam. 20 μm), followed by evapn. of the solvent to give III-supported on silica gel as a packing material. The latter packing material was packed in a stainless steel column (0.46 cm inner diam. X 25 cm length) to give a liq. chromatog. column for sepn. of optical isomers. Optical isomers of II were sepd. using the column obtained above and hexane/2-propanol (80/20 vol./vol. ratio) as the mobile phase with sepn. coefficiency of 2.20.

IT 93957-55-2P, (3R,5S,6E)-rel-7-[3-(4-Fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-6-heptenoic acid monosodium salt
RL: PUR (Purification or recovery); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(separation of optically active aryldihydroxyheptenoic acid esters by liquid chromatog. resolution using polysaccharide carbamates coated on silica gel)

RN 93957-55-2 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

L5 ANSWER 36 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



● Na

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 37 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 141:395334 CA
TITLE: Preparation of polymorphic crystalline fluvastatin sodium
INVENTOR(S): Suri, Sanjay; Sarin, Gurdeep Singh
PATENT ASSIGNEE(S): Morepen Laboratories Ltd., India
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004096765	A2	20041111	WO 2004-IN121	20040430
WO 2004096765	A3	20050127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			IN 2003-DE656 A	20030501

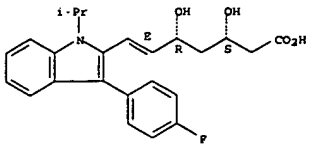
OTHER SOURCE(S): CASREACT 141:395334
AB Crystalline polymorphic forms of fluvastatin sodium and its hydrates are prepared by the reaction of the Me ester of fluvastatin with sodium hydroxide followed by the addition of aliphatic ethers (e.g., THF) as an antisolvent to facilitate precipitating the crystal polymorph of fluvastatin sodium.

IT 93957-55-2P, Fluvastatin sodium
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of polymorphic crystalline fluvastatin sodium)

RN 93957-55-2 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

L5 ANSWER 37 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



● Na

L5 ANSWER 38 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 141:230683 CA
TITLE: Crystalline forms of pitavastatin calcium
INVENTOR(S): Van Der Schaaf, Paul Adriaan; Blatter, Fritz; Szelagiewicz, Martin; Schoening, Kai-Uwe
PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz.
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004072040	A1	20040826	WO 2004-EP50066	20040202
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004212160	A1	20040826	AU 2004-212160	20040202
CA 2513837	A1	20040826	CA 2004-2513837	20040202
EP 1592668	A1	20051109	EP 2004-707232	20040202
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1747934	A	20060315	CN 2004-80003952	20040202
JP 2006518354	T	20060810	JP 2006-501997	20040202
US 2006142582	A1	20060629	US 2005-544752	20050808

PRIORITY APPLN. INFO.:			
EP 2003-405080	A	20030212	
WO 2004-EP50066	W	20040202	

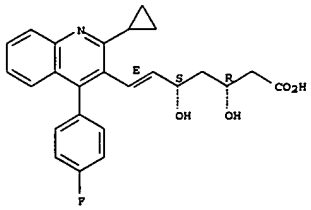
AB The present invention is directed to new crystalline forms of Pitavastatin hemicalcium salt, referred to hereinafter as polymorphic Forms A, B, C, D, E and F, as well as the amorphous form. Furthermore, the present invention is directed to processes for the preparation of these crystalline forms and the amorphous form and pharmaceutical compns. comprising these crystalline forms or the amorphous form. The hemicalcium salt was prepared from pitavastatin tert-Bu ester in tert-Bu ether and MeOH, NaOH added, and aqueous phase extracted with Me tert-Bu ether. Then CaCl2 was added to give a form A.

IT 147526-32-7P
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

L5 ANSWER 38 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
(cryst. forms of pitavastatin calcium)

RN 147526-32-7 CA
CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



●1/2 Ca

L5 ANSWER 39 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 140:302423 CA
TITLE: Chemoenzymatic methods for the synthesis of statins and stain intermediates
INVENTOR(S): Greenberg, William; Wong, Kelvin; Varvak, Alexander; Swanson, Ronald V.
PATENT ASSIGNEE(S): Diversa Corporation, USA
SOURCE: PCT Int. Appl., 199 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004027075	A2	20040401	WO 2003-US27334	20030819
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003263031	A1	20040408	AU 2003-263031	20030819
US 2005153407	A1	20050714	US 2003-472157	20030819
EP 1625223	A2	20060215	EP 2003-797874	20030819
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK				
JP 2006512086	T	20060413	JP 2004-568922	20030819
IN 2005MN00301	A	20051021	IN 2005-MN301	20050419

PRIORITY APPLN. INFO.:			
US 2002-412625P	P	20020920	
US 2003-469374P	P	20030509	
WO 2003-US27334	W	20030819	

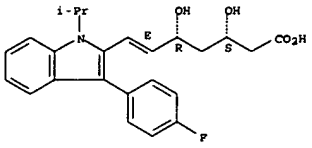
AB The invention provides novel aldolases, nucleic acids encoding them and methods for making and using them, including chemoenzymic processes for making β,δ-dihydroxyheptanoic acid side chains and compns. comprising these side chains, e.g., [[R-(R*, R*)]-2-(4-fluorophenyl)-β, δ-dihydroxy-5-(1-methylethyl)-3-phenyl-4-(phenylamino)carbonyl]-1H-pyrrole-L-heptanoic acid (atorvastatin, (LIPITORTM), rosuvastatin (CRESTORTM), fluvastatin (LESCOLTM)], related compds. and their intermediates.

IT 93957-55-2P, LESCOL
RL: IMF (Industrial manufacture); PREP (Preparation)
(chemoenzymic methods for synthesis of statins and stain intermediates)

RN 93957-55-2 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

L5 ANSWER 39 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



● Na

L5 ANSWER 40 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 140:205215 CA
TITLE: Process for obtaining HMG-CoA reductase inhibitors of high purity
INVENTOR(S): Grahek, Rok; Milivojevic, Dusan; Bastarda, Andrej
PATENT ASSIGNEE(S): LEK Pharmaceuticals D.D., Slovenia
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6695969	B1	20040224	US 2001-720952	20010103
SI 20072	A	20000430	SI 1998-241	19980918
WO 2000017182	A1	20000330	WO 1999-IB1553	19990917
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2004138294	A1	20040715	US 2003-698009	20031030
US 7141602	B2	20061128		
US 2007032549	A1	20070208	US 2006-581637	20061016

PRIORITY APPLN. INFO.:

SI 1998-241	A	19980918
WO 1999-IB1553	W	19990917
US 2001-720952	A2	20010103
US 2003-698009	A3	20031030

AB Lovastatin, pravastatin, simvastatin, mevastatin, atorvastatin, and derivs. and analogs thereof are known as HMG-CoA reductase inhibitors and are used as antihypercholesterolemic agents. The majority of them are produced by fermentation using microorganisms of different species identified as species belonging to Aspergilus, Monascus, Nocardia, Amycolatopsis, Mucor or Penicilium genus, some are obtained by treating the fermentation products using the method of chemical synthesis or they are the products of total chemical synthesis. The purity of the active ingredient is an important factor for manufacturing the safe and effective pharmaceutical, especially if the . pharmaceutical product must be taken on a longer term basis in the treatment or prevention of high plasma cholesterol. The accumulation of the impurities from the pharmaceuticals of lower purity may cause many side effects during the medical treatment. The present invention relates to a new industrial process for the isolation of HMG-CoA reductase inhibitors using so-called displacement chromatog. Use of the invention enables to obtain HMG-CoA reductase inhibitors of high purity, with high

L5 ANSWER 40 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)

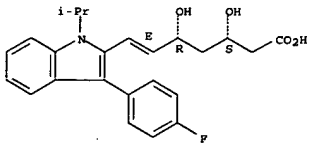
yields, lower prodn. costs and suitable ecol. balance.

IT 93957-54-1P, Fluvastatin
RL: PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(displacement chromatog. for obtaining HMG-CoA reductase inhibitors of high purity)

RN 93957-54-1 CA

CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 41 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 140:47480 CA
TITLE: Calcium salts of indole derived statins
INVENTOR(S): Chen, Guang-Pei; Kapa, Prasad Koteswara; Sutton, Paul Allen
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003105837	A1	20031224	WO 2003-EP6195	20030612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2486557	A1	20031224	CA 2003-2486557	20030612
AU 2003276960	A1	20031231	AU 2003-276960	20030612
EP 1515717	A1	20050323	EP 2003-740234	20030612
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005532362	T	20051027	JP 2004-512741	20030612
US 2006035941	A1	20060216	US 2004-517874	20041213

PRIORITY APPLN. INFO.:

US 2002-388318P	P	20020613
WO 2003-EP6195	W	20030612

OTHER SOURCE(S): MARPAT 140:47480

AB The present invention provides calcium salts of indole-derived statins. More specifically, the invention provides fluvastatin calcium (I), in a highly crystalline form. Furthermore, the present invention is directed to methods for the preparation of I, and to pharmaceutical compns. comprising the crystalline form. The I is effective for the prevention and/or treatment of hypercholesterolemia, hyperlipoproteinemia, dyslipidemia, and atherosclerosis.

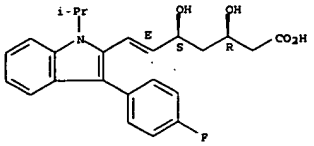
IT 634902-71-9P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of crystalline fluvastatin Ca salt and blood cholesterol-lowering effects thereof)

RN 634902-71-9 CA

CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.

L5 ANSWER 41 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



●1/2 Ca

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

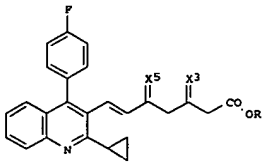
FORMAT

L5 ANSWER 42 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 140:41958 CA
TITLE: Process for the manufacture of organic compounds
INVENTOR(S): Storz, Thomas
PATENT ASSIGNEE(S): Novartis AG, USA
SOURCE: U.S. Pat. Appl. Publ., 17 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003233001	A1	20031218	US 2003-428257	20030502
US 6909003	B2	20050621		
PRIORITY APPLN. INFO.:			GB 2002-10234 A	20020503

OTHER SOURCE(S): MARPAT 140:41958
GI



I

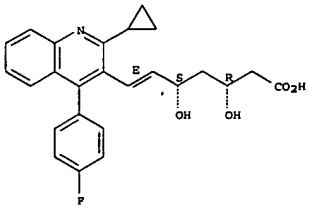
AB This invention relates to a process for the manufacture of analogs, (3R,5R)-R1(CH2)2CH(OH)CH2CH(OH)CH2CO2H and (3R,5S,6E)-R1CH:CHCH(OH)CH2CH(OH)CH2CO2H (R1 = cyclic statin analog residue), of known HMG-CoA reductase inhibiting statins via an enantioselective reduction using a ruthenium catalyst. Thus, pitavastatin hemicalcium salt (3R,5S,6E)-I (R = 1/2Ca2+, X3 = X5 = β-OH-α-H) was prepared via enantioselective reduction of 3,5-dioxo-ester (6E)-I (R = Et, X3 = X5 = O) catalyzed by (1R,2R)-N-p-toluenesulfonyl-1,2-diphenylethylenediamine-RuII-p-cymene complex in DMF followed by treatment with Et3N to give 3,5-diol-ester (3R,5S,6E)-I (R = Et, X3 = X5 = β-OH-α-H) which was subsequently converted to the target hemicalcium salt.

IT 147526-32-7P, (E)-(3R,5S)-7-[2-Cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid hemicalcium salt
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

L5 ANSWER 42 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
(process for asym. synthesis of analogs of statins via enantioselective redn. using a ruthenium catalyst)

RN 147526-32-7 CA
CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



●1/2 Ca

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

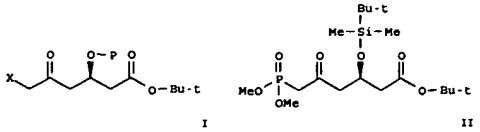
FORMAT

L5 ANSWER 43 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 139:337984 CA
TITLE: Preparation of rosuvastatin and related HMG-CoA reductase inhibitors via a common chiral intermediate
INVENTOR(S): Lim, Kwang-Min
PATENT ASSIGNEE(S): CLS Laboratories, Inc., S. Korea
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087112	A1	20031023	WO 2003-KR707	20030409
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
KR 2003080620	A	20031017	KR 2002-19340	20020409
AU 2003219592	A1	20031027	AU 2003-219592	20030409
PRIORITY APPLN. INFO.:			KR 2002-19340 A	20020409
			WO 2003-KR707 W	20030409

OTHER SOURCE(S): CASREACT 139:337984; MARPAT 139:337984
GI

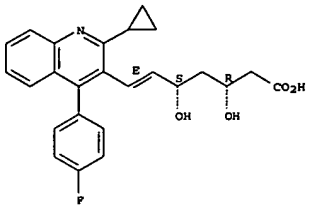


I II

AB A process for the preparation of rosuvastatin and related HMG-CoA reductase inhibitors via the common chiral intermediate I [X = P(=O)R12, S(O)R1; R1 = H, alkyl, aryl; P = OH protecting group, e.g., t-butyldimethylsilyl] was disclosed. For example, condensation of Et tert-Bu (3R)-3-hydroxyglutaric acid, e.g., prepared from diethyl-3-hydroxyglutaric acid in 3-steps, and the sodium salt of di-Me methylphosphonate afforded claimed chiral phosphonate II in 77% yield and 99.1% chiral purity. Of note is the enantioselective

L5 ANSWER 43 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
esterase mediated hydroylsis of diethyl-3-hydroxyglutaric acid in 99.5% chiral purity. The prepn. of the sodium salt of rosuvastatin using chiral phosphonate II was also provided. The present invention does not have the problem of removing reaction byproducts and the disposal of waste assocd. with current methodologies.
IT 147511-69-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of rosuvastatin and related HMG-CoA reductase inhibitors via a common chiral intermediate)
RN 147511-69-1 CA
CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

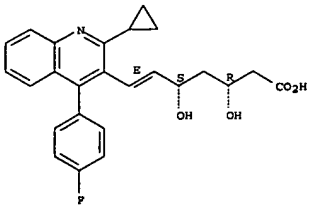
Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 44 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 139:239363 CA
TITLE: Pitavastatin (Nissan/Kowa Yakuhin/Novartis/Sankyo)
AUTHOR(S): Flores, Nicholas A.
CORPORATE SOURCE: Institute of Urology and Nephrology, Division of Applied Physiology, University College London, London, W1W 7EY, UK
SOURCE: Current Opinion in Investigational Drugs (PharmaPress Ltd.) (2002), 3(9), 1334-1341
CODEN: COIDAZ; ISSN: 1472-4472
PUBLISHER: PharmaPress Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. Pitavastatin (nisvastatin) is an HMG CoA reductase inhibitor being developed jointly by Nissan, Kowa Kogyo, Novartis and Sankyo for the potential treatment of atherosclerosis and hyperlipidemia.
IT 147511-69-1P, Pitavastatin
RL: ADV (Adverse effect, including toxicity); DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antiarteriosclerotic, antihypercholesterolemic, and antihyperlipidemic actions of pitavastatin)
RN 147511-69-1 CA
CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.

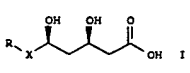


REFERENCE COUNT: 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 45 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 139:214343 CA
TITLE: Process for the manufacture of HMG-CoA reductase inhibitory mevalonic acid derivatives
INVENTOR(S): Sedelmeier, Gottfried; Mathes, Christian
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070717	A1	20030828	WO 2003-EP1738	20030220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR				
CA 2473075	A1	20030823	CA 2003-2473075	20030220
AU 2003218994	A1	20030909	AU 2003-218994	20030220
EP 1478640	A1	20041124	EP 2003-714750	20030220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003007801	A	20041221	BR 2003-7801	20030220
CN 1636004	A	20050706	CN 2003-804288	20030220
JP 2005520818	T	20050714	JP 2003-569624	20030220
US 2005159480	A1	20050721	US 2003-504655	20030220
NZ 534394	A	20061027	NZ 2003-534394	20030220
ZA 2004005436	A	20050617	ZA 2004-5436	20040708
NO 2004003919	A	20040920	NO 2004-3919	20040920
PRIORITY APPLN. INFO.:			GB 2002-4129 A	20020221
			WO 2003-EP1738 W	20030220

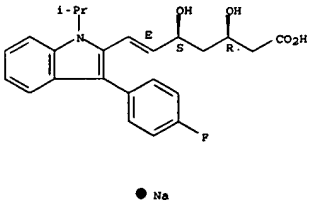
OTHER SOURCE(S): MARPAT 139:214343
GI



AB Mevalonic acid derivs. I [R = cyclic residue; X = CH2CH2, CH:CH] are prepared by treating R1R2R3P:CHCOCH2CO2R4 [R1-R3 = (un)substituted Ph; R4 = aliphatic, cycloaliph., aromatic] with RCHO, reducing the resulting RCH:CHCOCH2CO2R4 in presence of a chiral metal BINAP or TaDPEN catalyst, treating the resulting alc. with an ester enolate, reducing the second oxo group, and hydrolyzing the ester group. Thus, ClCH2COCH2CO2Et was treated

L5 ANSWER 45 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
with PPh3 to give Ph3P:CHCOCH2CO2Et which was treated with 2-cyclopropyl-4-(4-fluorophenyl)quinoline-3-carboxaldehyde to give (E)-5-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3-oxopent-4-enoic acid Et ester. This ester was reduced with Ru[(1R,2R)-p-TsNCHPhCHPhNH](η-p-cymene) and treated with Me3COAc to give (E)-(S)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-5-hydroxy-3-oxohept-4-enoic acid tert.-Bu ester which was reduced with MeOBEt2 and hydrolyzed to give (E)-(3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-4-enoic acid calcium salt.
IT 94061-80-0P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for the manufacture of HMG-CoA reductase inhibitory mevalonic acid derivs.)
RN 94061-80-0 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



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REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 46 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 139:164712 CA

TITLE: Asymmetric titanium mediated disilyloxydiene/aldehyde addition process for the preparation of δ-hydroxy-β-ketoesters.

INVENTOR(S): Chen, Guang-Pei; Kapa, Prasad Koteswara; Loeser, Eric M.; Beutler, Ulrich; Zaugg, Werner; Girgis, Michael J.

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003064382	A2	20030807	WO 2003-EP804	20030127
WO 2003064382	A3	20031211		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR				
US 2003208072	A1	20031106	US 2003-350615	20030124
US 6835838	B2	20041228		
CA 2472340	A1	20030807	CA 2003-2472340	20030127
EP 1472227	A2	20041103	EP 2003-734696	20030127
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003007236	A	20041207	BR 2003-7236	20030127
JP 2005516064	T	20050602	JP 2003-564005	20030127
CN 1625550	A	20050608	CN 2003-802877	20030127
CN 1660818	A	20050831	CN 2005-10005170	20030127
ZA 2004005239	A	20050617	ZA 2004-5239	20040701
US 2004249154	A1	20041209	US 2004-891357	20040714
IN 2004CN01635	A	20060224	IN 2004-CN1635	20040723
NO 2004003586	A	20041007	NO 2004-3586	20040827
AU 2006225205	A1	20061026	AU 2006-225205	20061003
AU 2006225206	A1	20061026	AU 2006-225206	20061003

PRIORITY APPLN. INFO.: US 2002-353216P P 20020128
US 2002-383188P P 20020524
US 2003-350615 A3 20030124
CN 2003-802877 A3 20030127
WO 2003-EP804 W 20030127

OTHER SOURCE(S): CASREACT 139:164712; MARPAT 139:164712

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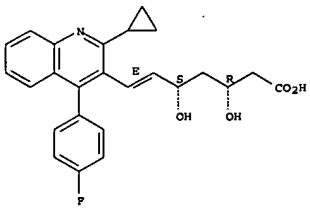
L5 ANSWER 46 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



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L5 ANSWER 46 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A process for the preparation of I [R1 = (un)substituted (cyclo)alkyl, aralkyl; R2-7 = H, halo, OH, (un)substituted (cyclo)alkyl, aryl, aralkyl, etc.] and analogs is disclosed. The process involves the Ti(OPr-i)4/(S)-BINOL mediated addition of II [R1 = as above; R, R' = alkyl] to III [R2-7 = as above]. For instance, II [R1 = Et; R, R' = Me] (preparation given) is reacted with III [R2 = F; R3-7 = H] (THF, 4Å mol. sieves, (S)-BINOL/Ti(OPr-i)4, 19°, 2 days) to give I [R1 = Et; R2 = F; R3-7 = H] in 81.6% yield (after purification) and the amount of undesired enantiomer was below the limit of detection. Addnl. examples demonstrated sidechain manipulation (to the δ(S)-β(R)-ester) and subsequent conversion to pitavastatin (calcium salt) via the intermediacy of the 2H-pyranone. Exptl. details regarding mol. sieve preparation and their use in a fixed bed reactor are given.

IT 574705-92-3P
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(asym. titanium mediated disilyloxydiene/aldehyde addition process for preparation of δ-hydroxy-β-ketoesters)

RN 574705-92-3 CA

CN 6-Heptenoic acid, 7-(2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.

L5 ANSWER 47 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 139:149536 CA

TITLE: Preparation of an asymmetric β,δ-dihydroxycarboxylic acid side chain used for the manufacture of a HMG-CoA reductase inhibitors

INVENTOR(S): Acemoglu, Murat; Riss, Bernhard

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003064392	A1	20030807	WO 2003-EP954	20030130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR				
CA 2472776	A1	20030807	CA 2003-2472776	20030130
EP 1472228	A1	20041103	EP 2003-734716	20030130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003007303	A	20050111	BR 2003-7303	20030130
CN 1622937	A	20050601	CN 2003-802740	20030130
JP 2005520814	T	20050714	JP 2003-564015	20030130
NZ 534232	A	20060331	NZ 2003-534232	20030130
ZA 2004005322	A	20050617	ZA 2004-5322	20040705
US 2005070605	A1	20050331	US 2004-502177	20040721
IN 2004CN01647	A	20060512	IN 2004-CN1647	20040726
NO 2004003611	A	20040830	NO 2004-3611	20040830

PRIORITY APPLN. INFO.: US 2002-353787P P 20020131
WO 2003-EP954 W 20030130

OTHER SOURCE(S): MARPAT 139:149536

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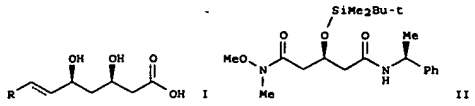
L5 ANSWER 47 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



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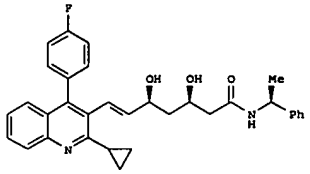


III

AB A process for the stereoselective preparation of a β,δ-dihydroxycarboxylic acid I [R = cyclic residue] is disclosed. For instance, glutaric acid diamide analog II (preparation given) is reacted with methanephosphonic acid di-Et ester (THF, n-BuLi, -78°) and the resulting phosphonate condensed with [2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]carboxaldehyde (i-PrOH, Cs2CO3) to give the corresponding E-olefin. This intermediate is deprotected and reduced (THF, NaBH4, Me2BOMe, -78°, 30 min) to give III. Addnl. examples demonstrate the conversion of III (optionally via the intermediacy of a 2H-pyran intermediate) to pitavastatin (calcium salt).

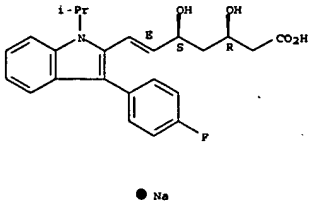
IT 94061-80-0P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of an asym. β,δ-dihydroxycarboxylic acid side chain used for manufacture of a HMG-CoA reductase inhibitors)

RN 94061-80-0 CA

CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.

L5 ANSWER 47 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



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REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE.

FORMAT

L5 ANSWER 48 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 139:148567 CA
TITLE: Fluvastatin manufacture with Amycolatopsis from mevastatin
INVENTOR(S): Yamashita, Haruyuki; Shoji, Yoshikazu
PATENT ASSIGNEE(S): Asahi Denka Kogyo K. K., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003230377	A	20030819	JP 2002-29331	20020206
PRIORITY APPLN. INFO.:			JP 2002-29331	20020206

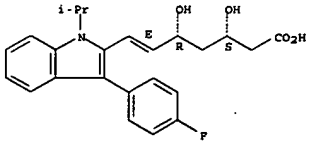
AB Hypolipemic fluvastatin (I) is manufactured from mevastatin with Amycolatopsis.
The 5'-terminal sequence of 16S rRNA gene of the Amycolatopsis was given. Also the physiol. and morphol. characteristics of the Amycolatopsis were given. Manufacture of I with Amycolatopsis from mevastatin with a conversion rate of ≥ 86% was shown.

IT 93957-54-1P, Fluvastatin
RL: BPN (Biosynthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(fluvastatin manufacture with Amycolatopsis from mevastatin)

RN 93957-54-1 CA

CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



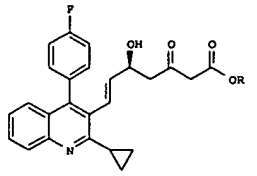
L5 ANSWER 49 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 139:117344 CA
TITLE: Process for producing optically active oxoheptenoic acid ester
INVENTOR(S): Horiuchi, Takashi; Shimizu, Masamichi; Kondo, Shoichi; Soejima, Tadashi; Umeo, Kazuhiro
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan; Sankyo Chemical Industries, Ltd.
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

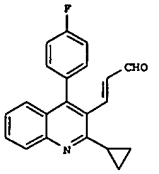
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003042180	A1	20030522	WO 2002-JP11870	20021114
WO 2003042180	A9	20030731		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2485580	A1	20030522	CA 2002-2485580	20021114
EP 1466905	A1	20041013	EP 2002-780087	20021114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
CN 1589263	A	20050302	CN 2002-822734	20021114
TW 243165	B	20051111	TW 2002-91133400	20021114
ZA 2004003722	A	20050516	ZA 2004-3722	20040514
US 2005054853	A1	20050310	US 2004-495268	20040604
US 7064209	B2	20060620		
PRIORITY APPLN. INFO.:			JP 2001-348569 A	20011114
			WO 2002-JP11870 W	20021114

OTHER SOURCE(S): CASREACT 139:117344; MARPAT 139:117344
GI

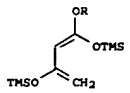
L5 ANSWER 49 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



I



II



III

AB Disclosed is a novel process for producing an optically active (5S,6E)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-5-hydroxy-3-oxohept-6-enoic acid alkyl ester represented by the formula (I; R = C1-4 alkyl), which is an important intermediate for (3R,5S,6E)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid salt as a medicine for treating hyperlipidemia and arteriosclerosis. It comprises reacting a 1,3-bis(trimethylsilyloxy)-1-alkoxybuta-1,3-diene represented by the formula (II; R = C1-4 alkyl) with (E)-3-[2-cyclopropyl-4-(4-fluorphenyl)quinolin-3-yl]prop-2-en-1-al, which is represented by the formula (III), in the presence of an optically active binaphthol-titanium complex obtained from 1,1'-bi-2-naphthol and titanium tetraisopropoxide and of a metal salt and an amine and then subjecting the reaction product to desilylation. The use of metal salt and various amines in the above addition reaction markedly improves optical purity (≥99% ee) and yields (≥85%). Thus, 25.0 g III was dissolved in 305.0 g THF under N atmospheric and treated with a toluene solution (6.35 g) of (S)-(-)-1,1'-bi-2-naphthol and titanium tetraisopropoxide (0.0016 mol) and then with 1.10 g LiCl and N,N,N',N'-tetramethylethylenediamine, followed by adding dropwise 51.34 g II (R = Et), and the resulting mixture was stirred at 27-30° for 4 h, quenched by adding 32.5 mL ion-exchanged water and 32.5 mL aqueous saturated NaHCO3 solution THF was removed by distillation under reduced pressure and

L5 ANSWER 49 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)

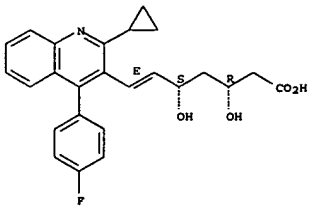
the org. layer was extd. with 675 mL EtOAc. The ext. was washed with 125 mL ion-exchanged water and 125 mL aq. satd. NaHCO3 soln., dried over 20 g anhyd. MgSO4, and filtered. The filtrate was cooled to 0°, treated dropwise with 23.9 g 50 wt.% aq. H2SO4 soln., stirred at 0-5° for 2 h, and filtered to collect the pptd. sulfate salt which was washed twice with 25 mL EtOAc, dispersed in a mixt. of 250 mL EtOAc and 100 mL ion-exchanged water, treated with 150 mL 10 wt.% aq. Na2CO3 soln., stirred at 26-28° for 30 min to give, after further workup and crystn. from ethylcyclohexane, 30.06 g I (R = Et) (85.2% yield, 99% ee).

IT 147511-69-1DP, (3R,5S,6E)-7-[2-Cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid, salt
RL: PNU (Preparation, unclassified); PREP (Preparation)
(preparation of optically active alkyl [cyclopropyl(fluorophenyl)quinolinyl] hydroxyoxoheptenoate by addition of bis(trimethylsilyloxy)alkoxybutadiene with [cyclopropyl(fluorphenyl)quinolinyl]propenal in presence of (S)-binaphthol-titanium complex)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 50 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 138:343889 CA
TITLE: Novel pharmaceutical compounds containing drugs bound to polypeptides
INVENTOR(S): Picariello, Thomas
PATENT ASSIGNEE(S): New River Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 4662 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 23
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003034980	A2	20030501	WO 2001-US43089	20011114
WO 2003034980	A8	20051103		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2428971	A1	20030501	CA 2001-2428971	20011114
EP 1401374	A1	20040331	EP 2001-274606	20011114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2006516948	T	20060713	JP 2003-537549	20011114
US 2004063628	A1	20040401	US 2002-156527	20020529
US 7060708	B2	20060613		
US 2007060500	A1	20070315	US 2006-392878	20060330

PRIORITY APPLN. INFO.:

US 2000-274622P	P	20001114
US 1999-265415	B2	19990310
US 1999-411238	B2	19991004
WO 2000-US5693	A	20000306
US 2000-642820	A2	20000822
US 2000-247594P	P	20001114
US 2000-247622P	P	20001114
US 2000-247684P	P	20001114
US 2000-248528P	P	20001116
US 2000-248620P	P	20001116
US 2000-248659P	P	20001116
US 2000-248660P	P	20001116
US 2000-248662P	P	20001116

L5 ANSWER 50 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)

US 2000-248663P	P	20001116
US 2000-248685P	P	20001116
US 2000-248733P	P	20001116
US 2000-248737P	P	20001116
US 2000-248738P	P	20001116
US 2000-248748P	P	20001116
US 2000-248764P	P	20001116
US 2000-248767P	P	20001116
US 2000-248768P	P	20001116
US 2000-248769P	P	20001116
US 2000-248770P	P	20001116
US 2000-248771P	P	20001116
US 2000-248772P	P	20001116
US 2000-248774P	P	20001116
US 2000-248776P	P	20001116
US 2000-248777P	P	20001116
US 2000-248778P	P	20001116
US 2000-248779P	P	20001116
US 2000-248782P	P	20001116
US 2000-248787P	P	20001116
US 2000-248794P	P	20001116
US 2000-248795P	P	20001116
US 2000-248796P	P	20001116
US 2000-248797P	P	20001116
US 2001-933708	A2	20010822
US 2001-986426	A2	20011108
US 2001-987458	B2	20011114
WO 2001-US43089	W	20011114
US 2001-988034	B2	20011116
US 2001-988071	B2	20011116

L5 ANSWER 50 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)

WO 2001-US43115	B2	20011116
WO 2001-US43117	B2	20011116
US 2002-358381P	P	20020222
US 2002-366258P	P	20020322
US 2002-156527	A2	20020529
US 2003-507012P	P	20030930
US 2004-567800P	P	20040505
US 2004-567802P	P	20040505
US 2004-568011P	P	20040505
US 2004-923088	A2	20040823
WO 2004-US32131	A2	20040930

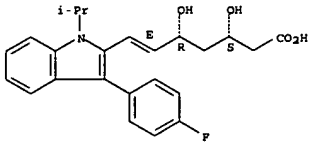
AB Compns. comprising polypeptides and drugs covalently attached to the polypeptide are disclosed. Also provided is a method for delivery of these drugs to a patient comprising administering to the patient a composition comprising a polypeptide and a drug covalently attached to the polypeptide. Also provided is a method for protecting drugs from degradation comprising covalently attaching them to a polypeptide. Also provided is a method for controlling release of drugs from a composition comprising covalently attaching them to the polypeptide.

IT 93957-54-1DP, Fluvastatin, protein conjugates
RL: PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(novel pharmaceutical compds. containing drugs bound to polypeptides)

RN 93957-54-1 CA

CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



L5 ANSWER 51 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 138:287535 CA

TITLE: Process for preparation of optically active 7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid ethyl ester

INVENTOR(S): Nishino, Shigeyoshi; Matsushita, Akio; Yokoyama, Shuji; Kawachi, Yasuhiro; Sasaki, Hiroshi

PATENT ASSIGNEE(S): UBE Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003027073	A1	20030403	WO 2002-JP9638	20020919
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2005255522	A	20050922	JP 2001-284633	20010919
JP 2005255523	A	20050922	JP 2001-284634	20010919
PRIORITY APPLN. INFO.:			JP 2001-284633	A 20010919
			JP 2001-284634	A 20010919

AB This invention pertains to prepn method of (3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid Et ester useful as an intermediate for an HMG-CoA reductase inhibitor (cholesterol-lowering agent) in high yield by reacting an amine salt of (3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid with an alc. in a solvent in the presence of an acid, or by a method comprising reacting the salt with an esterifying agent in a solvent in the presence of a base. For example, 7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid was reacted with PhCH2NH2 in AcOEt to obtain 7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid benzylamine salt (94.9%). The above salt was resolved with THF to give (3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid benzylamine salt (60.0%, 99.1% ee, 99.8% de). The above optically active salt was reacted with EtOH in the presence of concentrated aqueous HCl to afford (3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid Et ester (100%), which was crystallized from (i-Pr)2O and heptane to produce crystalline sample (91.0%, 99.9% ee, 99.8% de).

IT 503818-48-2P
RL: IMF (Industrial manufacture); PUR (Purification or recovery); RCT (Reactant); PREP (Preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; process for prepn. of optically active 7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid Et ester)

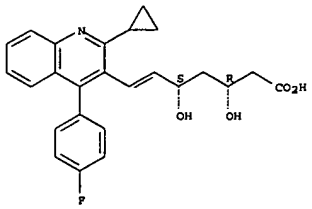
RN 503818-48-2 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S)-, compd. with benzenemethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

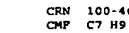
CRN 503818-47-1
CMF C25 H24 F N O4

Absolute stereochemistry.
Double bond geometry unknown.



CM 2

CRN 100-46-9
CMF C7 H9 N



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 52 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 138:221469 CA
TITLE: Process for the preparation of indole derivatives
INVENTOR(S): Wolleb, Heinz; Wolleb, Annemarie; Van Der Schaaf, Paul
Adriaan; Kolly, Roman; End, Nicole
PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz.
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

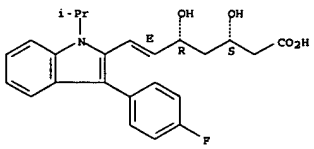
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003018555	A1	20030306	WO 2002-EP9046	20020813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2455842	A1	20030306	CA 2002-2455842	20020813
EP 1423365	A1	20040602	EP 2002-796227	20020813
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
CN 1545502	A	20041110	CN 2002-816435	20020813
JP 2005503393	T	20050203	JP 2003-523219	20020813
US 2005032875	A1	20050210	US 2004-487269	20040219
PRIORITY APPLN. INFO.:			EP 2001-810817 A	20010822
			WO 2002-EP9046 W	20020813

OTHER SOURCE(S): CASREACT 138:221469; MARPAT 138:221469
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A process for the preparation of indole derivs. I [R1 = (un)substituted C1-8-alkyl; R2, R3, R4, R5 = H, (un)substituted C1-8-alkyl, C1-8-alkoxy, phenoxy or benzyloxy, halogen; Y1, Y2 = H, protective group; Y1Y2 = protecting bridge; X1 = H, an organic radical, a cation], in which process a compound II [Z1 = leaving group], is reacted, in the presence of a catalytically effective amount of a palladium catalyst, with a compound III [R6 = H, Br, Cl, I, OSO2CF3, COCl, B(OH)2, a mono- or di-ester derived from -B(OH)2; Y3, Y4 = protecting group; or Y3Y4 = protecting bridge] to form a compd. IV and if desired the radicals Y3 and Y4 are converted into the radicals Y1 and Y2 where Y1 and Y2 are hydrogen. Thus, V was prepd. from 3-(4-fluorophenyl)-1-isopropylindole via regioselective bromination, reaction with 2-ethoxy-4,4,5,5-tetramethyl-1,3,2-dioxaborolane, palladium-catalyzed coupling with dioxanylacetate VI, deprotection and sapon.
IT 93957-55-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of indole derivs. via palladium-catalyzed coupling reactions with boronic acid esters)
RN 93957-55-2 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



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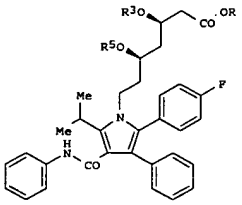
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 53 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 138:204870 CA
TITLE: Processes for preparing calcium salt forms of statins
INVENTOR(S): Niddam-Hildesheim, Valerie; Lifshitz-Liron, Revital; Lidor-Hadas, Rami
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016317	A1	20030227	WO 2002-US26012	20020816
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002099224	A1	20020725	US 2001-37412	20011024
US 6528661	B2	20030304		
CA 2450820	A1	20030227	CA 2002-2450820	20020816
US 2003114685	A1	20030619	US 2002-222556	20020816
US 6777552	B2	20040817		
EP 1425287	A1	20040609	EP 2002-759374	20020816
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
TR 200302281	T2	20040921	TR 2003-2281	20020816
CN 1543468	A	20041103	CN 2002-815999	20020816
JP 2005500382	T	20050106	JP 2003-521239	20020816
NZ 529913	A	20050324	NZ 2002-529913	20020816
HU 200500616	A2	20051128	HU 2005-616	20020816
ZA 2003009373	A	20041202	ZA 2003-9373	20031202
IN 2003MN01112	A	20050429	IN 2003-MN1112	20031205
NO 2004001082	A	20040315	NO 2004-1082	20040315
US 2004176615	A1	20040909	US 2004-803414	20040318
US 2005197501	A1	20050908	US 2005-120567	20050502
PRIORITY APPLN. INFO.:			US 2001-312812P P	20010816
			US 2001-37412 A	20011024
			US 2000-249319P P	20001116
			US 2001-312144P P	20010813
			US 2001-326529P P	20011001
			US 2002-222556 A3	20020816
			WO 2002-US26012 W	20020816
			US 2004-803414 A1	20040318

L5 ANSWER 53 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 138:204870
GI

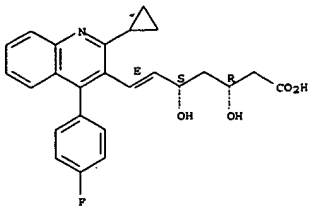


I

AB Processes for preparing hemicalcium salts of a statins RCH(OH)CH2CH(OH)CH2CO2H (R = statin organic radical selected from pravastatin, fluvastatin, cerivastatin, atorvastatin, rosuvastatin, pitavastatin, simvastatin, or lovastatin) from an ester derivative or protected ester derivative of the statin by using calcium hydroxide are provided. The ester or protected ester derivative is contacted with calcium hydroxide to obtain the calcium salt. Preferred statins are rosuvastatin, pitavastatin and atorvastatin, simvastatin and lovastatin. In processes beginning with a protected satin ester derivative, the protecting group is hydrolyzed during salt formation by contact with calcium hydroxide, or is contacted with an acid catalyst followed by contact with calcium hydroxide. Thus, diol-protected atorvastatin ester I (R = CMe3, R3R5 = CMe2) was treated with an 80% aqueous soln of AcOH at rt for 20 h to form the deprotected ester I (R = CMe3, R3 = R5 = H) which was in turn dissolved in EtOH, treated with a saturated soln of Ca(OH)2 containing Bu4N+Br- and stirred at 45° for 24 h to give atorvastatin hemicalcium salt I (R = 1/2Ca, R3 = R5 = H) in 77% yield for the two steps.
IT 147526-32-7P, Pitavastatin hemicalcium
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(processes for preparing calcium salt forms of statins)
RN 147526-32-7 CA
CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.

L5 ANSWER 53 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



●1/2 Ca

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L5 ANSWER 54 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 138:106534 CA

TITLE: Process for the preparation of 7-amino 3,5-dihydroxy heptanoic acid derivatives as intermediates useful in the synthesis of statin derivatives

INVENTOR(S): Oehrlein, Reinhold; Baisch, Gabriele; End, Nicole; Burkhardt, Stephan; Studer, Martin

PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz.

SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

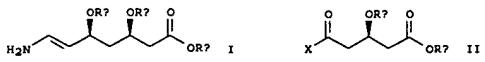
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003004450	A1	20030116	WO 2002-EP7307	20020702
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2448917	A1	20030116	CA 2002-2448917	20020702
EP 1404639	A1	20040407	EP 2002-782448	20020702
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002010842	A	20040713	BR 2002-10842	20020702
HU 2004011145	A2	20040928	HU 2004-1145	20020702
JP 2004533479	T	20041104	JP 2003-510418	20020702
CN 1610657	A	20050427	CN 2002-813534	20020702
US 2004186313	A1	20040923	US 2003-482463	20031231

PRIORITY APPLN. INFO.: EP 2001-810670 A 20010706

WO 2002-EP7307 W 20020702

OTHER SOURCE(S): MARPAT 138:106534

GI



AB The invention relates to methods for the synthesis of intermediates, especially 7-amino 3,5-dihydroxy heptanoic acid derivs., such as I [X = halogen, acyloxy, activated hydrocarbyloxy, activated hydrocarbylthio or NMeOMe;

L5 ANSWER 54 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)

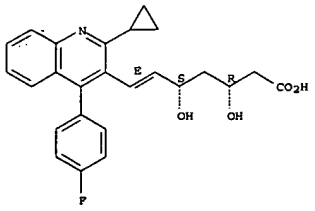
Ra,Rb = H, hydroxy-protecting group or together are a bridging hydroxy protecting group; Rc = carboxy-protecting group], which are suitable for prepn. of statin derivs. Intermediates also include II (X = halo, acyloxy, activated hydrocarbyloxy or hydrocarbylthio, or NMeOMe). Thus, II (Ra = acetyl, Rc = Et, X = Cl) was prepd. from di-Et 3-hydroxyglutaric acid and applied to the synthesis of I (RaRb = isopropylidene, Rc = tert-Bu) via reactions with dibenzylamine and tert-Bu acetate. The product is an intermediate in the synthesis of atorvastatin.

IT 147511-69-1P, Itavastatin
RL: PNU (Preparation, unclassified); PREP (Preparation)
(preparation of aminodihydroxy heptanoic acid derivs. as intermediates useful in the synthesis of statin derivs.)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L5 ANSWER 55 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 138:33338 CA

TITLE: Bone formation promoter

INVENTOR(S): Takayanagi, Ryoichi

PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan; Nissan Chemical Industries, Ltd.; Sankyo Co., Ltd.

SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002370982	A	20021224	JP 2001-177270	20010612

PRIORITY APPLN. INFO.: JP 2001-177270 20010612

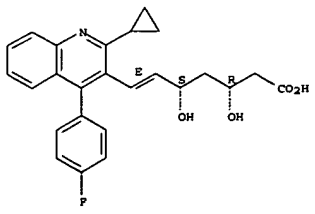
AB Claimed is a bone formation promoter containing 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolyl]-3,5-dihydroxy-6-heptenoic acid (I) as active ingredient. The bioactivities of (+)-bis[(3R,5S,6E)-I] monocalcium salt were demonstrated. A formulation is given.

IT 147526-32-7P
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(bioeffect of cyclopropylfluorophenylquinolyldihydroxyheptenoate as bone formation promoter)

RN 147526-32-7 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



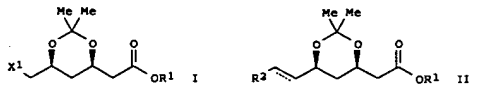
●1/2 Ca

L5 ANSWER 56 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 138:24717 CA
TITLE: Process for preparing chiral diol sulfones and dihydroxy acid HMG CoA reductase inhibitors
INVENTOR(S): Brodfuehrer, Paul R.; Sattelberg, Thomas R., Sr.; Kant, Joydeep; Qian, Xinhua
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098854	A2	20021212	WO 2002-US17269	20020530
WO 2002098854	A3	20030327		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2449813	A1	20021212	CA 2002-2449813	20020530
US 2003018199	A1	20030123	US 2002-158355	20020530
US 6875867	B2	20050405		
EP 1392656	A2	20040303	EP 2002-737324	20020530
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002009942	A	20040330	BR 2002-9942	20020530
TR 200400600	T3	20040621	TR 2004-600	20020530
JP 2004536813	T	20041209	JP 2003-501843	20020530
HU 200401724	A2	20041228	HU 2004-1724	20020530
CN 1656077	A	20050817	CN 2002-810927	20020530
TW 256391	B	20060611	TW 2002-91111890	20020603
IN 2003DN01752	A	20051014	IN 2003-DN1752	20031027
US 2005124641	A1	20050609	US 2005-39702	20050120
PRIORITY APPLN. INFO.:			US 2001-296403P	P 20010606
			US 2002-158355	A3 20020530
			WO 2002-US17269	W 20020530

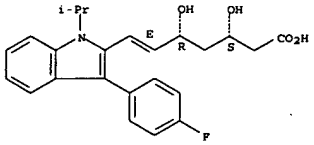
OTHER SOURCE(S): MARPAT 138:24717
GI

L5 ANSWER 56 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



AB Title Compds. I and II [X1 = F3CSO3, MeSO3, 4-MeC6H4SO3, RS, RSO2; R = (un)substituted tetrazolyl, Ph, 2-benzoxazolyl, 2-benzothiazolyl; R1 = alkyl, cycloalkyl, aralkyl, Cbz; R2 = substituted tetrahydronaphthyl, pyrrolyl, pyrimidinyl, pyridinyl] were prepared as intermediates for HMG CoA inhibitors. Thus, the diol III was prepared as its arginine salt from the benzocycloheptapyridinecarboxaldehyde and the sulfone I [X1 = 1-phenyl-5-tetrazolylsulfonyl, R1 = CMe3], both of which were prepared in several steps.
IT 93957-54-1P, Fluvastatin
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for preparing chiral diol sulfones and dihydroxy acid HMG CoA reductase inhibitors)
RN 93957-54-1 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



L5 ANSWER 57 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 137:384764 CA
TITLE: Process for producing (3R,5S)-7-substituted-3,5-dihydroxyhept-6-enoic acid
INVENTOR(S): Nishino, Shigeyoshi; Yokoyama, Shuji; Kawachi, Yasuhiro; Sasaki, Hiroshi
PATENT ASSIGNEE(S): Ube Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092570	A1	20021121	WO 2002-JP4710	20020515
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2005047803	A	20050224	JP 2001-145358	20010515
PRIORITY APPLN. INFO.:			JP 2001-145358	A 20010515

OTHER SOURCE(S): MARPAT 137:384764
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

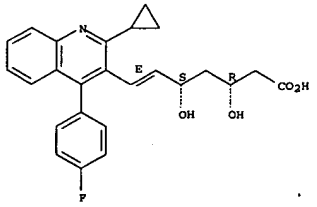
AB Disclosed is a process for producing a (3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid represented by the formula (I) which comprises optically resolving with an achiral amine compound a mixture of optical isomers of a 7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid represented by the formula (II). The optical resolution involves contacting II with an achiral amine to form II achiral amine salt, recrystg. the salt to form I achiral amine salt, and contacting the I achiral recrystn. amine salt with an acid to give I. This process does not use expensive chiral amines and is suitable for industrial preparation of I which is an intermediate for an anticholesteremic agent (HMG-CoA reductase inhibitor). Thus, 4.21 g II (preparation given), 1.07 g benzylamine, and 30 mL EtOAc were added to a 50 mL flask and cooled to 0° with stirring, upon which crystals precipitated The precipitated crystals were filtered, washed with EtOAc cooled at 0°, and dried under reduced pressure to give 94.9% II benzylamine salt. II benzylamine salt (4.22 g) and 84 mL THF were added to a 100 mL flask, warmed to 50° with stirring to give a homogeneous solution, and cooled to 0°, upon which crystals precipitated The precipitated crystals were filtered

L5 ANSWER 57 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
and washed with 42 mL THF cooled at 0°. This procedure was repeated twice to give 2.52 g I benzyl amine salt (60.0%) which (2.11 g) and 10 mL MeOH were added to a 50 mL flask, adjusted to pH 3.5 by adding 1 M aq. HCl, and extd. with 10 mL EtOAc twice, followed by drying the EtOAc ext. over anhyd. MgSO4 and concn. to give 1.66 g I (99.0%).
IT 475645-80-8P
RL: PUR (Purification or recovery); RCT (Reactant); PREP (Preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)-quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid by optical resolution using achiral amine via formation of achiral amine salt, recrystn., and treatment with acid)
RN 475645-80-8 CA
CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)-, compd. with benzenemethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 147511-69-1
CMF C25 H24 F N O4

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



CM 2

CRN 100-46-9
CMF C7 H9 N

H_2N-CH_2-Ph

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 57 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)

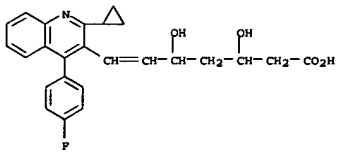
L5 ANSWER 58 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)

AB Disclosed is a preventive or remedy for glomerular diseases which contains as the active ingredient the compound represented by the following formula (I) or a salt of the compound The preventive or remedy is useful as a preventive or remedy for various glomerular diseases including IgA (IgA) kidney disease, glomerulosclerosis, membranous nephropathy, membranous proliferative nephritis, and chronic glomerulonephritis. The compound I is known to possess excellent HMG-CoA reductase inhibitory activity (no data). Thus, calcium bis[(3R,5S,6E)-7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolyl]-3,5-dihydroxy-6-heptenoate] (II) was prepared via conversion of 2-amino-4'-fluorobenzophenone into Me 3-cyclopropyl-4-(4-fluorophenyl)-3-quinolinecarboxylate by the known procedures. II showed IC50 of 22.4 μM for inhibiting the production of phosphatidylinositol 4-phosphate (PIP) stimulated by TGF-β1 in human glomerular interstitial cell CryoNHMC (mesangium cell).

IT 121659-03-8P, 7-[2-Cyclopropyl-4-(4-fluorophenyl)-3-quinolyl]-3,5-dihydroxy-6-heptenoic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of [cyclopropyl(fluorophenyl)quinolyl]hydroxyheptenoic acid as remedial agent for glomerular diseases)

RN 121659-03-8 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 58 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 137:337790 CA

TITLE: Preparation of 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolyl]-3,5-dihydroxy-6-heptenoic acid as remedial agent for glomerular disease

INVENTOR(S): Nakagawa, Takashi; Suda, Makoto; Yamauchi, Youichi

PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan; Nissan Chemical Industries, Ltd.

SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

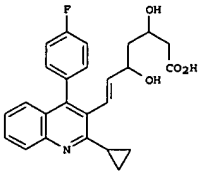
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085363	A1	20021031	WO 2002-JP3870	20020418
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1386608	A1	20040204	EP 2002-720493	20020418
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004116468	A1	20040617	US 2003-474194	20031016

PRIORITY APPLN. INFO.:

JP 2001-121058	A	20010419
JP 2001-361257	A	20011127
WO 2002-JP3870	W	20020418

GI



I

L5 ANSWER 59 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 137:139496 CA

TITLE: Process for producing (3R,5S)-(E)-7-[2-cyclopropyl-4-(4-fluorophenyl)-quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid ester and derivatives

INVENTOR(S): Hara, Mari; Takuma, Yuki; Katsurada, Manabu; Hosokawa, Akemi; Matsumoto, Youichi; Kasuga, Yuzo; Watanabe, Naoyuki

PATENT ASSIGNEE(S): Mitsubishi Chemical Corporation, Japan; Nissan Chemical Industries, Ltd.

SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002063028	A1	20020815	WO 2002-JP835	20020201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2003137870	A	20030514	JP 2001-331480	20011029
CA 2437312	A1	20020815	CA 2002-2437312	20020201
JP 2002300897	A	20021015	JP 2002-25423	20020201
EP 1365029	A1	20031126	EP 2002-710461	20020201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1633502	A	20050629	CN 2002-807852	20020201
US 2004030139	A1	20040212	US 2003-629865	20030730
US 6965031	B2	20051115		
IN 2003CN01356	A	20051125	IN 2003-CN1356	20030828

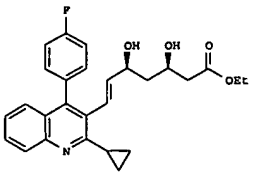
PRIORITY APPLN. INFO.:

JP 2001-26316	A	20010202
JP 2001-331480	A	20011029
WO 2002-JP835	W	20020201

OTHER SOURCE(S): CASREACT 137:139496; MARPAT 137:139496

GI

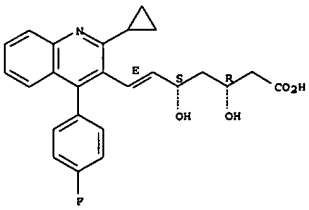
L5 ANSWER 59 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



I

AB A process for producing the title compound (I) and optically active derivs.
with microorganism by fermentation was given. I is useful as serum cholesterol-reducing agent. Preparation of Et ester of I (3R,5S-DOLE) and its
derivs. 3S,5R-, 3S,5S-, and 3R,5R-DOLE with Saccharomycopsis fibuligera from 5-Mol, i.e.
5-(E)-7-[2-cyclopropyl-4-(fluorophenyl)-quinolin-3-yl]-5-hydroxy-3-oxohepto-6-enoic acid Et ester was shown.
IT 147511-69-1P
RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)
(process for producing
(3R,5S)-(E)-7-[2-cyclopropyl-4-(4-fluorophenyl)-quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid ester and derivs.)
RN 147511-69-1 CA
CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.

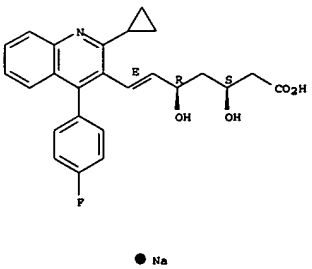


L5 ANSWER 59 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 60 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 136:112193 CA
TITLE: Synthesis and biological evaluations of quinoline-based HMG-CoA reductase inhibitors
AUTHOR(S): Suzuki, M.; Iwasaki, H.; Fujikawa, Y.; Kitahara, M.; Sakashita, M.; Sakoda, R.
CORPORATE SOURCE: Central Research Laboratories, Nissan Chemical Industries, Ltd., Funabashi, Chiba, 274-8507, Japan
SOURCE: Bioorganic & Medicinal Chemistry (2001), 9(10), 2727-2743
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:112193
AB A series of quinoline-based 3,5-dihydroxyheptenoic acid derivs. were synthesized from quinolinecarboxylic acid esters by homologation, aldol condensation with Et acetoacetate dianion, and reduction of 3-hydroxyketone to
evaluate their ability to inhibit the enzyme HMG-CoA reductase in vitro. In agreement with previous literature, a strict structural requirement exists on the external ring, and 4-fluorophenyl is the most active in this
system. For the central ring, substitution on positions 6, 7, and 8 of the central quinoline nucleus moderately affected the potency, whereas the
alkyl side chain on the 2-position had a more pronounced influence on activity. Among the derivs., NK-104 (pitavastatin calcium), which has a cyclopropyl group as the alkyl side chain, showed the greatest potency. We found that further modulation and improvement in potency at inhibiting HMG-CoA reductase was obtained by having the optimal substituents flanking
the desmethylmevalonic acid portion, i.e., 4-fluorophenyl and cyclopropyl,
instead of the usual iso-Pr group.
IT 391681-56-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and biol. evaluations of quinoline-based HMG-CoA reductase inhibitors)
RN 391681-56-4 CA
CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

L5 ANSWER 60 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)

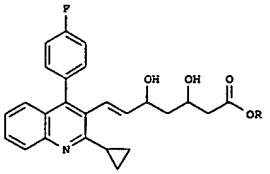


REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 61 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 136:36497 CA
TITLE: Manufacture of (3R,5S,6E)-7-(substituted-quinolyl)-3,5-dihydroxyhept-6-enoic acid esters by stereoselective enzymic hydrolysis
INVENTOR(S): Tokuda, Shinichiro; Okabe, Toshiyuki; Soma, Tamotsu
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan; Sankyo Kasei Kogyo K. K.
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001352996	A	20011225	JP 2000-175316	20000612
PRIORITY APPLN. INFO.:			JP 2000-175316	20000612

OTHER SOURCE(S): MARPAT 136:36497
GI

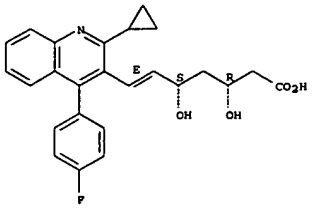


I

AB The compds. (3R,5S,6E)-I (R = C1-4 alkyl) (II), useful as intermediates for (3R,5S,6E)-7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolyl]-3,5-dihydroxyhept-6-enoic acid salts as hypolipemics and antiatherosclerotics, are manufactured by treating a mixture of stereoisomers of (6E)-I including II with acylating agents in the presence of hydrolases, removing the hydrolases from the reaction mixture, and then separating II from the mixture A mixture (3.17 g) of II (R = Et) 49.7, (3S,5R,6E)-I (R = Et) 49.7, (3S,5S,6E)-I (R = Et) <0.3, and (3R,5R,6E)-I (R = Et) <0.3% was treated with isopropenyl acetate and Lipase PS in MeJCOMe at 40° for 94 h to give 1.40 g II (R = Et) with 99.4% e.e.
IT 147511-69-1DP, salts

L5 ANSWER 61 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
RL: PNU (Preparation, unclassified); PREP (Preparation)
(hypolipemics, intermediates for; manuf. of optically-active quinolyldihydroxyheptenoic acid esters from stereoisomer mixts. using acylating agents and hydrolases)
RN 147511-69-1 CA
CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

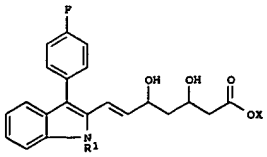
Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L5 ANSWER 62 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 136:5904 CA
TITLE: Process for the preparation of indole derivatives and intermediates of the process
INVENTOR(S): Wolleb, Annemarie; Wolleb, Heinz
PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz.
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001092223	A1	20011206	WO 2001-EP5667	20010517
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2407862	A1	20011206	CA 2001-2407862	20010517
AU 200174049	A	20011211	AU 2001-74049	20010517
EP 1284964	A1	20030226	EP 2001-940495	20010517
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003535077	T	20031125	JP 2002-500838	20010517
US 2003166946	A1	20030904	US 2002-296106	20021122
US 6743926	B2	20040601		
IN 2002CN02102	A	20050225	IN 2002-CN2102	20021218
US 2004176614	A1	20040909	US 2004-803705	20040318
PRIORITY APPLN. INFO.:			EP 2000-810460 A	20000526
			WO 2001-EP5667 W	20010517
			US 2002-296106 A3	20021122

OTHER SOURCE(S): CASREACT 136:5904; MARPAT 136:5904
GI

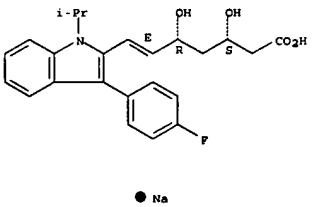


I

AB A process for the preparation of the title compds. I (R1 = C1-C6 alkyl;

L5 ANSWER 62 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
hydrocarbon radical or a cation] is reported. E.g., sodium erythro-(±)-(E)-7-[3-(4-fluorophenyl)-1-isopropyl-1H-indol-2-yl]-3,5-dihydroxyhept-6-enoate was prepd. in a multistep synthesis from 3-(4-fluorophenyl)-1-isopropyl-1H-indole.
IT 93957-55-2P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of indole derivs.)
RN 93957-55-2 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



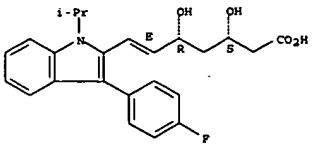
● Na

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 63 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 135:346072 CA
TITLE: The Story of Lescol: From Research to Production
AUTHOR(S): Repic, Oljan; Prasad, Kapa; Lee, George T.
CORPORATE SOURCE: Process R&D Chemical and Analytical Development, Novartis Institute for Biomedical Research, East Hanover, NJ, 07936, USA
SOURCE: Organic Process Research & Development (2001), 5(5), 519-527
CODEN: OPRDFK; ISSN: 1083-6160
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review, with refs., on the process research and development from laboratory scale synthesis of fluvastatin into a com. process. The major hurdle in the synthesis was the stereoselectivity of the syn-diol formation; the problem was attacked and solved from three directions. First, a method was developed that separated the syn and anti isomers that did not require chromatog.; second, a new, totally stereoselective synthesis, starting with phloroglucinol, was designed to produce the side-chain containing the syn-diol; and third, a new and general stereoselective reaction was invented for the reduction of β-hydroxy ketones to syn-diols. The 99% syn-selectivity of this reaction was achieved with sodium borohydride as the reducing agent, diethylmethoxyboron as the chelating agent, and THF and methanol as solvents in a 4:1 ratio, at -70°. The final process was only six steps long, entirely stereoselective in both the E-olefin and syn-diol formation, and required no chromatog. The cost of the synthesis was thus reduced by a factor of 14.
IT 93957-55-2P, Lescol
RL: IMF (Industrial manufacture); PREP (Preparation)
(research and development of stereoselective synthesis and reduction process for manufacture of Lescol)
RN 93957-55-2 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

L5 ANSWER 63 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



● Na

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

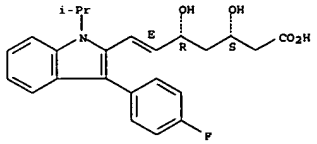
L5 ANSWER 64 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 134:328208 CA
TITLE: Lactonization process for preparation of 3-hydroxylactone-containing products
INVENTOR(S): McManus, James; Anousis, Nicholas; Genus, John; Hancock, Christopher
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001030773	A2	20010503	WO 2000-US29220	20001023
WO 2001030773	A3	20010614		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2388182	A1	20010503	CA 2000-2388182	20001023
US 6380401	B1	20020430	US 2000-694190	20001023
EP 1228057	A2	20020807	EP 2000-971010	20001023
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 2002156298	A1	20021024	US 2002-117580	20020405
US 6525205	B2	20030225		
PRIORITY APPLN. INFO.:			US 1999-161876P	P 19991027
			US 2000-694190	A3 20001023
			WO 2000-US29220	W 20001023

OTHER SOURCE(S): MARPAT 134:328208
AB Crystalline 3-hydroxylactone-containing products can be prepared in high yield and purity in a one-pot process by treating the corresponding 3,5-dihydroxy acid with a strong mineral acid in a cold, aprotic, and water-miscible solvent to effect lactonization, followed by addition of excess acid to effect crystallization of the lactonized product from the reaction mixture The process is useful in making 3-hydroxy-3-methylglutaryl CoA reductase inhibitors, such as simvatatin.
IT 93957-54-1P, Fluvastatin
RL: IMF (Industrial manufacture); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(lactonization process for preparation of 3-hydroxylactone-containing products)
RN 93957-54-1 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L5 ANSWER 64 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
Double bond geometry as shown.



L5 ANSWER 65 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 132:241979 CA
TITLE: Process for obtaining HMG-CoA reductase inhibitors of high purity
INVENTOR(S): Grahek, Rok; Milivojevic, Dusan; Bastarda, Andrej
PATENT ASSIGNEE(S): Lek Pharmaceutical and Chemical Company D.D., Slovenia
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000017182	A1	20000330	WO 1999-IB1553	19990917
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
SI 20072	A	20000430	SI 1998-241	19980918
CA 2343645	A1	20000330	CA 1999-2343645	19990917
AU 9955284	A	20000410	AU 1999-55284	19990917
AU 766630	B2	20031023		
EP 1114040	A1	20010711	EP 1999-941797	19990917
EP 1114040	B1	20041208		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 200102997	A2	20011228	HU 2001-2997	19990917
JP 2002526486	T	20020820	JP 2000-574092	19990917
JP 3795755	B2	20060712		
NZ 509582	A	20031031	NZ 1999-509582	19990917
RU 2235098	C2	20040827	RU 2001-108381	19990917
AT 284396	T	20041215	AT 1999-941797	19990917
RO 121116	B1	20061229	RO 2001-289	19990917
US 6695969	B1	20040224	US 2001-720952	20010103
HR 2001000045	A1	20011231	HR 2001-45	20010116
HR 2001000045	B1	20050831		
BG 105348	A	20011130	BG 2001-105348	20010316
BG 64676	B1	20051130		
US 2004138294	A1	20040715	US 2003-698009	20031030
US 7141602	B2	20061128		
IN 2004DN03747	A	20050401	IN 2004-DN3747	20041125
US 2007032549	A1	20070208	US 2006-581637	20061016

PRIORITY APPLN. INFO.: SI 1998-241 A 19980918
WO 1999-IB1553 W 19990917
US 2001-720952 A2 20010103
US 2003-698009 A3 20031030

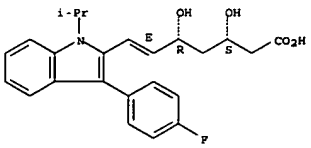
L5 ANSWER 65 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)

AB Lovastatin, pravastatin, simvastatin, mevastatin, atorvastatin, and derivs. and analogs are known as HMG-CoA reductase inhibitors and are used as antihypercholesterolemic agents. The majority of them are produced by fermentation using microorganisms of different species identified as species belonging to Aspergillus, Monascus, Nocardia, Amycolatopsis, Mucor or Penicillium genus, some are obtained by treating the fermentation products using the method of chemical synthesis or they are the products of total chemical synthesis. The purity of the active ingredient is an important factor for manufacturing the safe and effective pharmaceutical, especially if the pharmaceutical product must be taken on a longer term basis in the treatment or prevention of high plasma cholesterol. The accumulation of the impurities from the pharmaceuticals of lower purity may cause many side effects during the medical treatment. The present invention relates to a new industrial process for the isolation of HMG-CoA reductase inhibitors using so-called displacement chromatog. Use of the invention enables to obtain HMG-CoA reductase inhibitors of high purity, with high yields, lower production costs and suitable ecol. balance. Crude sodium salt of pravastatin (HPLC purity 88%) was dissolved in the mobile phase A (distilled water), pH was adjusted to 7 with 0.2M aqueous NaOH solution and filtered. The column was equilibrated with mobile phase A. The sample obtained in the above manner was fed onto the Grom-Sil 120-ODS HE column (particle size 30 11 μm, column size 250 x 10 mm). The column was washed with the mobile phase B containing 7% of diethylene glycol monobutyl ether in mobile phase A at the flow rate of 4.5 mL/min. Absorbance was measured at 260 nm, and the 0.5 mL fractions were collected with an initial increase in the absorbance. When the signal decreased the column was washed with 25 mL of 70% MeOH. The fractions obtained were analyzed by the HPLC method. The fractions with a purity 99.5% were pooled. In the pooled fractions (7 mL), the HPLC purity was 99.8%.

IT 93957-54-1P, Fluvastatin
RL: PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for obtaining HMG-CoA reductase inhibitors of high purity)

RN 93957-54-1 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

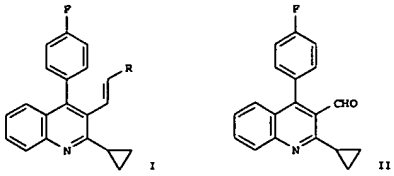
L5 ANSWER 66 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 132:122527 CA
TITLE: Process for the preparation of quinoline derivative and intermediate therefor
INVENTOR(S): Ohara, Yoshio; Suzuki, Mikio; Yanagawa, Yoshinobu; Takada, Yasutaka
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 12 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000005213	A1	20000203	WO 1999-JP3923	19990722
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2338334	A1	20000203	CA 1999-2338334	19990722
AU 9947992	A1	20000214	AU 1999-47992	19990722
AU 746722	B2	20020502		
EP 1099694	A1	20010516	EP 1999-931484	19990722
EP 1099694	B1	20050817		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NZ 509401	A	20020828	NZ 1999-509401	19990722
CN 1107670	B	20030507	CN 1999-809003	19990722
RU 2214402	C2	20031020	RU 2001-105200	19990722
AT 302190	T	20050915	AT 1999-931484	19990722
PT 1099694	T	20051031	PT 1999-931484	19990722
ES 2247813	T3	20060301	ES 1999-931484	19990722
ZA 2001000525	A	20010801	ZA 2001-525	20010118
NO 2001000357	A	20010122	NO 2001-357	20010122
NO 317787	B1	20041213		
US 6335449	B1	20020101	US 2001-764994	20010123

PRIORITY APPLN. INFO.: JP 1998-207911 A 19980723
WO 1999-JP3923 W 19990722

OTHER SOURCE(S): CASREACT 132:122527
GI

L5 ANSWER 66 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



I II

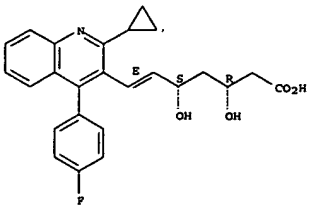
AB Claimed is a process for the preparation of 3-quinolinylpropenal derivative (I; R = CHO) through quinolylacrylonitrile I (R = cyano) which can be prepared by reacting quinolinealdehyde (II) with di-Et cyanomethyl phosphonate. I (R = CHO) is useful as an intermediate for a cholesterol-lowering agent (HMG-CoA reductase inhibitor) (III.1/2Ca). Thus, 400 g 20% aqueous NaOH was added dropwise to a mixture of II 199, di-Et cyanomethylphosphonate 136, and Aliquat 336 5.5 g in 960 g PhMe at 25-35° over 0.5-1 h and stirred at the same temperature for 1 h to give, after workup and recrystn. from hexane, 88% I (R = cyano). The latter nitrile (181 g) was dissolved in 1,812 mL PhMe and cooled to -10°, followed by adding a 1.02 M solution of diisobutylaluminum (664 mmol, 650 mL) at -10° to -5° over 1 h, and the resulting mixture was stirred at the same temperature for 1 h to give, after workup and recrystn. from a mixture of cyclohexane and n-hexane, 93% I (R = CHO).

IT 147526-32-7P
RL: PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolinylpropenal derivative by condensation of quinolinealdehyde derivative with di-Et cyanomethylphosphonate and reduction of quinolylacrylonitrile derivative)

RN 147526-32-7 CA
CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.

L5 ANSWER 66 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



●1/2 Ca

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 67 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 132:93197 CA
TITLE: First systematic chiral syntheses of two pairs of enantiomers with 3,5-dihydroxyheptenoic acid chain, associated with a potent synthetic statin NK-104
AUTHOR(S): Suzuki, Mikio; Yanagawa, Yoshinobu; Iwasaki, Hiroshi; Kanda, Hiroyasu; Yanagihara, Kazufumi; Matsumoto, Hiroo; Ohara, Yoshio; Yazaki, Yukari; Sakoda, Ryozo
CORPORATE SOURCE: Central Research Institute, Nissan Chemical Industries Ltd., Chiba, 274-8507, Japan
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(20), 2977-2982
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:93197

AB All 4 enantiomers of the synthetic statin NK-104 were prepared The syn diol isomers (NK-104 and its enantiomer) were obtained efficiently by diastereomer resolution The anti diol isomers (3-epimer and 5-epimer) were prepared effectively by asym. aldol reaction followed by anti stereoselective reduction as key steps. Their purity detns. were effected by chiral HPLC anal.

IT 147511-70-4P
RL: PUR (Purification or recovery); RCT (Reactant); PREP (Preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of the enantiomers of NK-104)

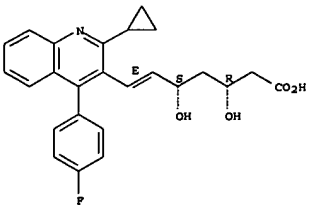
RN 147511-70-4 CA
CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)-, compd. with (αR)-α-methylbenzenemethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 147511-69-1
CMF C25 H24 F N O4

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.

L5 ANSWER 67 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 3886-69-9
CMF C8 H11 N

Absolute stereochemistry. Rotation (+).

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 68 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 132:35554 CA
TITLE: Preparation of multibinding multimeric inhibitors of HMG-CoA reductase
INVENTOR(S): Griffin, John H.; Leadbetter, Michael R.; Schmidt, Donald E, Jr.
PATENT ASSIGNEE(S): Advanced Medicine, Inc., USA
SOURCE: PCT Int. Appl., 188 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 31
PATENT INFORMATION:

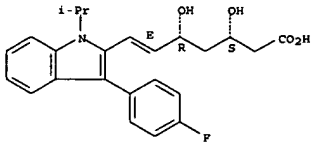
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9963994	A1	19991216	WO 1999-US11787	19990604
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2319761	A1	19991216	CA 1999-2319761	19990604
AU 9945436	A	19991230	AU 1999-45436	19990604
EP 1083894	A1	20010321	EP 1999-928345	19990604
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6355810	B1	20020312	US 1999-325663	19990604
ZA 2000004086	A	20010810	ZA 2000-4086	20000810
ZA 2000004558	A	20011130	ZA 2000-4558	20000831
ZA 2000004559	A	20020402	ZA 2000-4559	20000831
US 2002028943	A1	20020307	US 2001-760827	20010117
US 2004023290	A1	20040205	US 2002-161279	20020603
US 2003176670	A1	20030918	US 2002-330381	20021227
US 7179794	B2	20070220		

PRIORITY APPLN. INFO.:	US 1998-88448P	P	19980608
	US 1998-93072P	P	19980716
	US 1998-114083P	P	19981228
	US 1999-325662	A3	19990604
	WO 1999-US11787	W	19990604
	US 1999-327899	A1	19990608
	US 1999-328071	B1	19990608
	US 2000-502938	A1	20000211

OTHER SOURCE(S): MARPAT 132:35554
AB Disclosed are multibinding, multimeric compds. which inhibit

L5 ANSWER 68 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
3-hydroxy-3-methylglutaryl CoA reductase (HMG-CoA reductase), the rate limiting enzyme in cholesterol biosynthesis. The multibinding compds. contain 2-10 ligands, covalently attached to 1-20 linkers. Each ligand is a moiety capable of binding to HMG-CoA reductase, and the distance between ligands is at least 10 Å. The ligands may be structurally derived from compds. such as mevastatin, lovastatin, simvastatin, pravastatin, cerivastatin, atorvastatin, fluvastatin, and similar drugs. The compds. are useful in the treatment and prevention of hypercholesterolemia, hyperlipidemia, atherosclerosis, and the like.
IT 93957-54-1DP, Fluvastatin, multibinding multimeric derivs.
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of multibinding multimeric ligands comprising HMG-CoA reductase inhibitors)
RN 93957-54-1 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

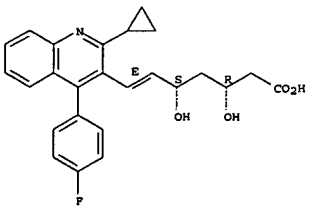
Relative stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 69 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 130:60508 CA
TITLE: NK-104: hypolipidemic HMG-CoA reductase inhibitor
AUTHOR(S): Sorbera, L. A.; Leeson, P. A.; Castaner, J.
CORPORATE SOURCE: Prous Science, Barcelona, 08080, Spain
SOURCE: Drugs of the Future (1998), 23(8), 847-859
CODEN: DRFUD4; ISSN: 0377-8282
PUBLISHER: Prous Science
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review, with 42 refs., of the synthesis, pharmacol., pharmacokinetics, and clin. studies of the title agents.
IT 147526-32-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); MSC (Miscellaneous); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(hypolipidemic HMG-CoA reductase inhibitor)
RN 147526-32-7 CA
CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.

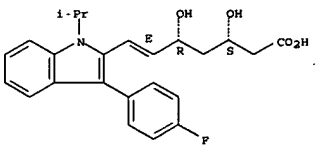


●1/2 Ca

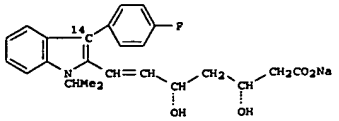
REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 70 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 130:3740 CA
TITLE: Synthesis of (E)-3-[3-(4-fluorophenyl)-1-methylethyl)-1H- indol-2-yl]-2-propenal
AUTHOR(S): Chen, Weiping; Liao, Yongwei; Yang, Genjin; Zhang, Wannian
CORPORATE SOURCE: College of Pharmacy, Second Military Medical University, Shanghai, 200433, Peop. Rep. China
SOURCE: Zhongguo Yaowu Huaxue Zazhi (1998), 8(1), 50-53
CODEN: ZYHZEF; ISSN: 1005-0108
PUBLISHER: Zhongguo Yaowu Huaxue Zazhi Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
AB The title compound, an intermediate for synthesis of fluvastatin, was prepared from aniline in 4 steps in 54% overall yield.
IT 93957-54-1P, Fluvastatin
RL: PNU (Preparation, unclassified); PREP (Preparation)
(synthesis of (E)-3-[3-(4-fluorophenyl)-1-methylethyl)-1H-indol-2-yl]-2-propenal)
RN 93957-54-1 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



L5 ANSWER 71 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 128:140577 CA
TITLE: Synthesis of carbon-14 labeled fluvastatin (Lescol)
AUTHOR(S): Tang, Y. S.; Jones, Lawrence; Sunay, Ustun B.
CORPORATE SOURCE: Chemical Research & Development Department, Novartis Pharmaceutical Corporation, Hanover, NJ, 07936, USA
SOURCE: Journal of Labelled Compounds & Radiopharmaceuticals (1998), 41(1), 1-7
CODEN: JLCRD4; ISSN: 0362-4803
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

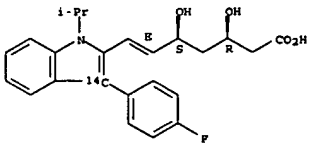
AB [R*,S*]-(±)-7-[3-(4-Fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl-3-14C]-3,5-dihydroxy-6-heptenoic acid sodium salt (labeled fluvastatin, I) was prepared from [14C]bromoacetyl chloride in a six-step synthesis with an overall radiochem. yield of 13.2%. This synthetic route was chosen because it puts the label in the metabolically stable 3-position of the indole ring.

IT 202402-41-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 202402-41-3 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl-3-14C]-3,5-dihydroxy-, monosodium salt, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

L5 ANSWER 71 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



● Na

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 72 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 128:119663 CA
TITLE: Polymorphs of fluvastatin sodium
INVENTOR(S): Horvath, Karol
PATENT ASSIGNEE(S): Astra Aktiebolag (Publ), Swed.; Horvath, Karol
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

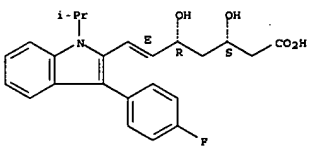
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9749681	A1	19971231	WO 1997-SE1097	19970618
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9733662	A	19980114	AU 1997-33662	19970618
EP 907639	A1	19990414	EP 1997-929654	19970618
EP 907639	B1	20030312		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2000512992	T	20001003	JP 1998-502821	19970618
AT 234282	T	20030315	AT 1997-929654	19970618
PT 907639	T	20030630	PT 1997-929654	19970618
ES 2194202	T3	20031116	ES 1997-929654	19970618
US 6124340	A	20000926	US 1997-875203	19970731
PRIORITY APPLN. INFO.:			SE 1996-2477 A	19960624
			SE 1997-751 A	19970303
			WO 1997-SE1097 W	19970618

AB This invention relates to a novel form of the HMG-CoA reductase inhibitor fluvastatin, more specifically to a highly crystalline form of fluvastatin sodium, referred to as fluvastatin sodium form B. The invention also relates to processes for the production of fluvastatin sodium form B, to pharmaceutical compns. comprising fluvastatin sodium form B, and to the use of fluvastatin sodium form B in cardiovascular diseases treatment. Thus, lyophilized fluvastatin sodium form A was dissolved in a mixture of EtOH and water and the solution stirred for 5 min. MeCN was added as a precipitating solvent and the solution was seeded with the form B crystals to induce crystallization of fluvastatin sodium monohydrate form B.

IT 201541-53-9P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(polymorphs of fluvastatin sodium)

RN 201541-53-9 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, monohydrate, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

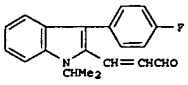
L5 ANSWER 72 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
Relative stereochemistry.
Double bond geometry as shown.



● Na

● H_2O

L5 ANSWER 73 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 127:220543 CA
TITLE: Asymmetric synthesis of 3,5-dihydroxy-6(E)-heptenoate-containing HMG-CoA reductase inhibitors
AUTHOR(S): Tempkin, Orin; Abel, Stephan; Chen, Chung-Pin; Underwood, Russell; Prasad, Kapa; Chen, Kau-Ming; Repic, Oljan; Blacklock, Thomas J.
CORPORATE SOURCE: Process RandD, Chemical and Analytical Development, Novartis Pharmaceuticals Corporation, East Hanover, NJ, 07936, USA
SOURCE: Tetrahedron (1997), 53(31), 10659-10670
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 127:220543
GI



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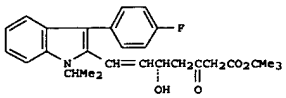
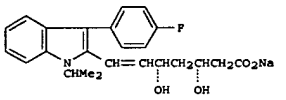


II

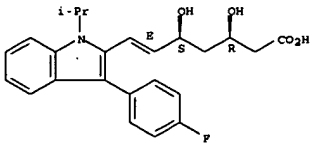


III

AB A one-pot conversion of aldehyde I to hydroxy oxo ester II with high enantioselection, culminating in a practical asym. synthesis of the (3R,5S) isomer (III) of the antihyperlipoproteinemic agent fluvastatin is described. All four 3,5-dihydroxy-6(E)-heptenoate stereoisomers were prepared in enantiopure form starting from II, utilizing selective reduction and oxidation methods.
IT 94061-80-0P
RL: SPN (Synthetic preparation); PREP (Preparation)

L5 ANSWER 73 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
(prepn. of)
RN 94061-80-0 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



● Na

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

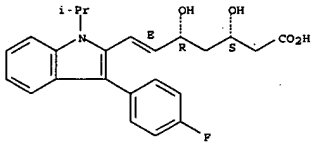
L5 ANSWER 74 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 126:130648 CA
TITLE: Process for recovering water-insoluble compounds from a fermentation broth
INVENTOR(S): Chu, Alexander H. T.; Wloch, Gene P.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640758	A1	19961219	WO 1996-US9787	19960607
W: CA, JP, MX				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5616595	A	19970401	US 1995-472615	19950607
CA 2222810	A1	19961219	CA 1996-2222810	19960607
CA 2222810	C	20020212		
EP 832108	A1	19980401	EP 1996-923254	19960607
EP 832108	B1	20000426		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 10511000	T	19981027	JP 1997-502007	19960607
JP 3146010	B2	20010312		
AT 192162	T	20000515	AT 1996-923254	19960607
ES 2146007	T3	20000716	ES 1996-923254	19960607
PT 832108	T	20000831	PT 1996-923254	19960607
GR 3033795	T3	20001031	GR 2000-401494	20000627
PRIORITY APPLN. INFO.:			US 1995-472615 A	19950607
			WO 1996-US9787 W	19960607

AB A novel process for recovering water-insol. compds. from a fermentation broth including the sequential steps of concentrating, solubilizing and diafiltering the compound of interest, all through a single closed recirculation system to recover the compound for further downstream purification
IT 93957-54-1P, Fluvastatin
RL: BMP (Bioindustrial manufacture); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation)
(recovering water-insol. compds. from a fermentation broth)
RN 93957-54-1 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

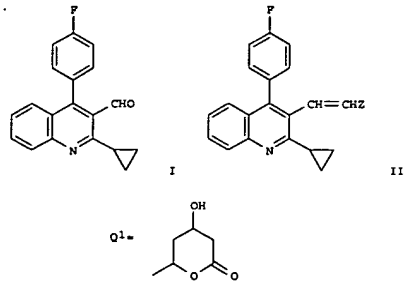
L5 ANSWER 74 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



L5 ANSWER 75 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 124:343135 CA
TITLE: Preparation of quinolinaldehyde derivative as intermediate for quinoline type mevalonolactones
INVENTOR(S): Matsumoto, Hiroo; Kanda, Hiroyasu; Obara, Yoshio; Ikeda, Hirokazu; Murakami, Tatsufumi
PATENT ASSIGNEE(S): Daicel Kagaku Kogyo Kk, Japan; Nissan Kagaku Kogyo KK
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08003138	A	19960109	JP 1995-35587	19950223
JP 3739432	B2	20060125		
PRIORITY APPLN. INFO.:			JP 1994-28596 A	19940225

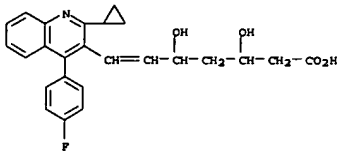
OTHER SOURCE(S): MARPAT 124:343135
GI



AB The title compound I is prepared by reaction of olefin II [Z = Q1, etc.] with ozone. Thus, a mixture of ozone and oxygen was introduced into II [Z = Q1] in ethanol and methanol at -60 to -72° during 1.5 h. Dimethylsulfide was then added to the reaction mixture at -72°; and the resulting mixture was warmed to room temperature during 1 h to give, after workup, 29% I.

IT 121659-03-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of quinolinaldehyde derivative as intermediate for quinoline type mevalonolactones)

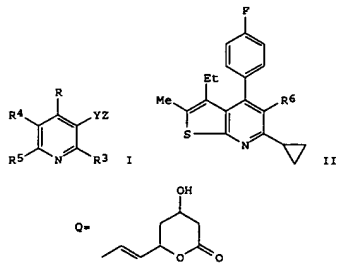
RN 121659-03-8 CA
CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy- (9CI) (CA INDEX NAME)



L5 ANSWER 76 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 119:117112 CA
TITLE: Preparation of (heterocyclylvinyl)mevalonic lactone analogs as antiatherosclerotics
INVENTOR(S): Saito, Yasushi; Kitahara, Masaki; Sakashita, Mitsuaki; Toyoda, Kyomi; Shibazaki, Toshie
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan; Kowa Co., Ltd.
SOURCE: Eur. Pat. Appl., 64 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 535548	A1	19930407	EP 1992-116417	19920924
EP 535548	B1	20011121		
R: AT, BE, CH, DE, DK, FR, GB, IE, IT, LI, LU, NL, SE				
JP 06329540	A	19941129	JP 1991-257870	19911004
JP 3130342	B2	20010131		
AT 209035	T	20011215	AT 1992-116417	19920924
AU 9226012	A	19930408	AU 1992-26012	19920928
AU 652669	B2	19940901		
NZ 244555	A	20000623	NZ 1992-244555	19920930
US 6162798	A	20001219	US 1992-953716	19920930
NO 9203858	A	19930405	NO 1992-3858	19921002
NO 302452	B1	19980309		
CA 2079706	A1	19930415	CA 1992-2079706	19921002
CA 2079706	C	20040330		
HU 62794	A2	19930628	HU 1992-3138	19921002
HU 214624	B	19980428		
CZ 281786	B6	19970115	CZ 1992-3027	19921002
RU 2114620	C1	19980710	RU 1992-5052949	19921002
SK 279277	B6	19980909	SK 1992-3027	19921002
PRIORITY APPLN. INFO.:			JP 1991-257870 A	19911004

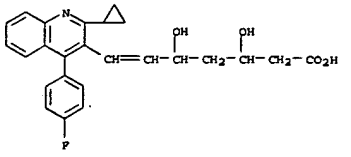
OTHER SOURCE(S): MARPAT 119:117112
GI



AB Title compds. [I; R = substituted-Ph; R3 = H, (cyclo)alkyl, (cyclo)alkenyl, (substituted)Ph, etc.; R4R5 = atoms to complete a fused benzene or 5- or 6-membered heteroaryl ring; Y = CH2, CH2CH2, CH:CH, etc.; Z = 4-hydroxy-2-oxo- or 2,4-dioxo-6-tetrahydropyranyl, QCH2WCH2CO2R12, etc.; Q = CO, CH(OH), etc.; R12 = H, ammonium, physiol. labile ester residue, etc.; W = CO, CH(OH), etc.], inhibitors of atherosclerotic intimal thickening, were prepared Thus, thienopyridinecarboxyaldehyde II (R6 = CHO) was condensed with Bu3SnC(OEt):CH2 and the product hydrolyzed to give II [R6 = (E)-CH:CHCHO] which was condensed with MeCOCH2CO2Et to give, in 3 addnl. steps, II (R6 = oxopyranylvinyl group Q). The latter gave 100% inhibition of smooth muscle cell proliferation at 10-6 M (intimal) and 10-5 M (medial) in vitro.

IT 121659-03-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiatherosclerotic)

RN 121659-03-8 CA
CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy- (9CI) (CA INDEX NAME)



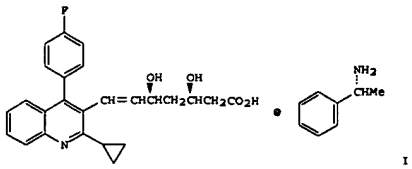
L5 ANSWER 77 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 118:233897 CA
TITLE: Preparation of diastereomer salt of optically active quinolinemevalonic acid
INVENTOR(S): Ohara, Yoshio; Suzuki, Mikio; Yanagawa, Yoshinobu; Iwasaki, Hiroshi; Miyachi, Nobuhide
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 520406	A1	19921230	EP 1992-110636	19920624
EP 520406	B1	19980902		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
JP 05148237	A	19930615	JP 1992-127277	19920520
JP 3528186	B2	20040517		
CA 2072162	A1	19921225	CA 1992-2072162	19920623
CA 2072162	C	20021119		
US 5284953	A	19940208	US 1992-902863	19920623
EP 742209	A2	19961113	EP 1996-107815	19920624
EP 742209	A3	19970514		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
AT 170513	T	19980915	AT 1992-110636	19920624
ES 2120973	T3	19981116	ES 1992-110636	19920624
KR 208867	B1	19990715	KR 1992-11018	19920624
US 5473075	A	19951205	US 1993-123117	19930920
US 5514804	A	19960507	US 1995-450383	19950525

PRIORITY APPLN. INFO.: JP 1991-151810 A 19910624
JP 1992-127277 A 19920520
US 1992-902863 A3 19920623
EP 1992-110636 A3 19920624
US 1993-123117 A3 19930920

OTHER SOURCE(S): CASREACT 118:233897
GI

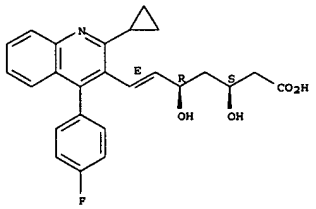
L5 ANSWER 77 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



I

AB A diastereomer salt of the title compound (I) which is an intermediate for preparation of optically active quinolinemevalonic acid derivs. with known. biol. activity is prepared by resolution of its racemic parent. Et (±)-(E)-3,5-dihydroxy-7-[4-(4-fluorophenyl)-2-cyclopropyl-3-quinolinyl]-6-heptenoate in EtOH was added to 1N NaOH to give the free acid. To the CH2Cl2 solution of the free acid 1 equiv of D-(+)-PhCH(NH2)Me was added to give the (E)-(3R,5S)-I.
IT 147008-21-7P
RL: SPN (Synthetic preparation); PREP (Preparation); PREP (Preparation)
(preparation and resolution of)
RN 147008-21-7 CA
CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, [R*,S*-(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

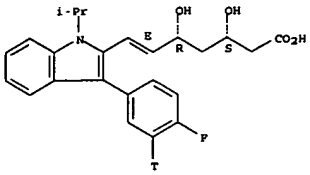


L5 ANSWER 77 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)

L5 ANSWER 78 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 113:184177 CA
TITLE: Disposition of fluvastatin, an inhibitor of HMG-CoA reductase, in mouse, rat, dog, and monkey
AUTHOR(S): Tse, F. L. S.; Smith, H. T.; Ballard, F. H.; Nicoletti, J.
CORPORATE SOURCE: Dep. Drug Metab., Sandoz Res. Inst., East Hanover, NJ, 07936, USA
SOURCE: Biopharmaceutics & Drug Disposition (1990), 11(6), 519-31
CODEN: BDDID8; ISSN: 0142-2782
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The physiol. disposition of fluvastatin, a potent inhibitor of hydroxymethylglutaryl-CoA reductase and thus cholesterol synthesis, was studied in the mouse, rat, dog, and monkey using 14C- or 3H-labeled drug. Oral doses of fluvastatin were absorbed at a moderate to rapid rate. The extent of absorption was dose-independent and was essentially complete in all 4 species studied. However, the drug was subject to extensive presystemic hepatic extraction followed by direct excretion via the bile, thus minimizing the systemic burden and yielding high liver/peripheral tissue concentration gradients for fluvastatin and its metabolites. Only at high doses far exceeding the intended human daily dose of .apprx.0.6 mg/kg did fluvastatin bioavailability approach unity, apparently due to saturation of the first-pass effect. Dose-normalized blood levels of fluvastatin and total radioactivity were higher in the dog in the other species, suggesting a small distribution volume in the former. Fluvastatin was partially metabolized before excretion, the extent of metabolism being smallest in the dog and greatest in the mouse. The half-life of intact fluvastatin ranged from 1-2 h in the monkey to 4-7 h in the dog. Regardless of the dose route, the administered radioactivity was recovered predominantly in feces, with the renal route accounting for <8% of the dose. No tissue retention of radioactivity was observed, and material balance was essentially within 96 h after dosing.
IT 130093-11-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and pharmacokinetics of)
RN 130093-11-7 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl-3-t)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, [R*,S*-(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

L5 ANSWER 78 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



● Na

L5 ANSWER 79 OF 83 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 113:131998 CA
TITLE: Stereoselective preparation of erythro-E-3,5-dihydroxy-7-[3'(4"-fluorophenyl)-1'(1"-methylethyl)indol-2'yl]heptenoates
INVENTOR(S): Chen, Kau Ming; Kapa, Prasad Koteswara; Lee, George T.; Repic, Oljan; Hess, Petr; Crevoisier, Michel
PATENT ASSIGNEE(S): Sandoz A.-G., Switz.; Sandoz-Patent-G.m.b.H.; Sandoz-Erfindungen-Verwaltungsgesellschaft m.b.H.
SOURCE: Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 363934	A1	19900418	EP 1989-118906	19891011
EP 363934	B1	19931229		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
WO 9003962	A1	19900419	WO 1989-EP1201	19891011
W: AU, BG, DK, FI, HU, JP, KR, NO, RO, SU				
AU 8943448	A	19900501	AU 1989-43448	19891011
AU 636122	B2	19930422		
HU 53860	A2	19901228	HU 1989-6048	19891011
HU 207993	B	19930728		
JP 03501735	T	19910418	JP 1989-510605	19891011
JP 2853227	B2	19990203		
EP 562643	A2	19930929	EP 1993-106005	19891011
EP 562643	A3	19940518		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 99281	T	19940115	AT 1989-118906	19891011
IL 91941	A	19941021	IL 1989-91941	19891011
ES 2060712	T3	19941201	ES 1989-118906	19891011
RO 109732	B1	19950530	RO 1989-145326	19891011
RU 2051907	C1	19960110	RU 1989-4830164	19891011
CA 2000553	A1	19900413	CA 1989-2000553	19891012
CA 2000553	C	20011204		
DD 296908	A5	19911219	DD 1989-333538	19891012
CZ 283316	B6	19980218	CZ 1989-5797	19891012
SK 280845	B6	20000814	SK 1989-5797	19891012
ZA 8907782	A	19910925	ZA 1989-7782	19891013
US 5189164	A	19930223	US 1990-482433	19900220
NO 9002598	A	19900807	NO 1990-2598	19900612
NO 174623	B	19940228		
NO 174623	C	19940608		
FI 98063	B	19961231	FI 1990-2935	19900612
FI 98063	C	19970410		
DK 9001446	A	19900613	DK 1990-1446	19900613
DK 175073	B1	20040524		

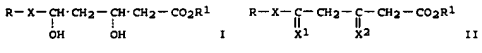
PRIORITY APPLN. INFO.:

US 1988-257475	A	19881013
US 1989-355531	A	19890522

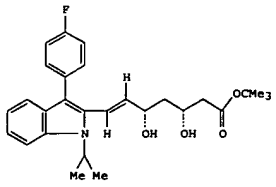
L5 ANSWER 79 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)

EP 1989-118906	A	19891011
WO 1989-EP1201	A	19891011

OTHER SOURCE(S): MARPAT 113:131998
GI



I II



III

AB The title compds. (I; R = organic group inert to reducing conditions; R1 = ester group inert to the reaction conditions; X = CH2CH2, CH:CH) were prepared by stereoselective reduction of II (one of X1,X2 = O, the other = H, OH) using R4OBR23 (R3 = primary or secondary C2-4 alkyl, R4 = allyl, C1-4 alkyl) and NaBH4 in a mixed alc./THF solvent followed by cleavage of the intermediate cyclic boronate. Thus, (±)-E-1-[3'-(4''-fluorophenyl)-1'-(1''-methylethyl)indol-2'-yl]-5-hydroxy-3-oxohept-6-enoic acid tert-Bu ester in THF/MeOH at -74 to -77° was added dropwise to a mixture of NaBH4 and MeOBEt2 in THF/MeOH. The mixture was stirred 30 min to give a cyclic boronate which in EtOAc was treated with 30% H2O2 to give racemic diol III (99.67% erythro).

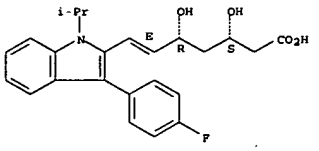
IT 93957-55-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 93957-55-2 CA

CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

L5 ANSWER 79 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



● Na

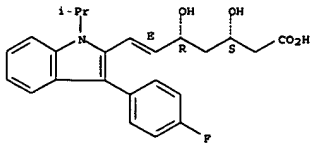
L5 ANSWER 80 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 112:197638 CA
TITLE: Processes for the synthesis of diprotected R(R*,S*)-3,5-dihydroxy-6-oxohexanoate esters as intermediates for antihypercholesterolemics
INVENTOR(S): Chen, Kau Ming; Hardtmann, Goetz E.; Kapa, Prasad K.; Lee, George T.; Linder, Jerome; Wattanasin, Sompong
PATENT ASSIGNEE(S): Sandoz Pharmaceuticals Corp., USA
SOURCE: U.S., 23 pp. Cont.-in-part of U.S. Ser. No. 857,689, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4870199	A	19890926	US 1988-166594	19880310
PRIORITY APPLN. INFO.:			US 1986-857689	A2 19860430
			US 1987-23079	A2 19870306

OTHER SOURCE(S): MARPAT 112:197638
AB R[R*,S*]-OHCCH(OR1)CH2CH(OR2)CH2CO2R3 (I; R1, R2 = protecting group; R3 = alkyl, allyl, benzyl), useful as intermediates for HMG CoA reductase inhibitors trans-R4HC:CHCH(OH)CH2CH(OH)CH2CO2H (II) [R4 = (substituted) Ph, biphenylyl, indolyl, diphenylimidazolyl, diphenylpyrazolyl, etc.], were prepared, e.g., from S-Ph3COCH2CH(OH)CH2CO2H via (1) condensation with Mg(O2CCH2CO2R3)2, (2) stereoselective reduction of the resulting S-Ph3COCH2CH(OH)CH2COCH2CO2R3 to the corresponding R[R*,S*]-diol, (3) protection of the diol, (4) cleavage of the triphenylmethyl group, and (5) oxidation to the aldehyde. Thus, S-Ph3COCH2CH(OH)CH2CO2Me (preparation given) in THF was added to a mixture of MeCO2CMe3 and Li diisopropylamide in THF at -62 to -60° over 25 min. The mixture was stirred at that temperature for 1 h followed by gradual warming to give 87.1% S-Ph3OCH2CH(OH)CH2COCH2CO2CMe3. The latter was reduced with Et3B and NaBH4 in MeOH/THF to give the corresponding diol with a 69:1 ratio of R[R*,S*]- to S[R*,R*]-stereoisomers. The diol was bis-silylated with Me3CSiPh2Cl, detritylated with CF3CO2H, and oxidized with pyridinium chlorochromate to give R[R*,S*]-OHCCH(OSiPh2CMe3)CH2CH(OSiPh2CMe3)CH2CO2CMe3. Several II were prepared from I and phosphonate reagents.
IT 93957-55-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antihypercholesterolemic)
RN 93957-55-2 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, monosodium salt, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

L5 ANSWER 80 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



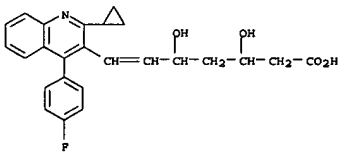
● Na

L5 ANSWER 81 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 111:134010 CA
TITLE: Quinolinylheptenoic acid derivatives as anticholesteremics, their preparation, and formulations containing them
INVENTOR(S): Fujikawa, Yoshihiro; Suzuki, Mikio; Iwasaki, Hiroshi; Sakashita, Mitsuaki; Kitahara, Masaki
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 46 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 304063	A2	19890222	EP 1988-113448	19880818
EP 304063	A3	19901003		
EP 304063	B1	19941130		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 01279866	A	19891110	JP 1988-193606	19880803
JP 2569746	B2	19970108		
CA 1336714	C	19950815	CA 1988-574999	19880817
ES 2067460	T3	19950401	ES 1988-113448	19880818
US 5011930	A	19910430	US 1990-483720	19900223
US 5102888	A	19920407	US 1990-483724	19900223
US 5185328	A	19930209	US 1990-483829	19900223
US 5872130	A	19990216	US 1990-631092	19901219
US 5856336	A	19990105	US 1992-883398	19920515
US 5854259	A	19981229	US 1992-978884	19921119
PRIORITY APPLN. INFO.:			JP 1987-207224	A 19870820
			JP 1988-15585	A 19880126
			JP 1988-193606	A 19880803
			US 1988-233752	A3 19880819
			US 1990-631092	A3 19901219
			US 1992-883398	A3 19920515

OTHER SOURCE(S): MARPAT 111:134010
GI For diagram(s), see printed CA Issue.
AB The title compds. I [R1-R4, R6 = H, C1-6 alkyl, C3-6 cycloalkyl, C1-3 alkoxy, etc.; or R1 and R2, R3 and R4 may form CH:CHCH:CH, etc.; Y = CH2, CH2CH2, CH:CH, CH2CH:CH, CH:CHCH2; Z = QCH2WCH2CO2R12, Q1, etc.; Q = C(O), CH(OH), etc.; W = C(O), C(R11)(OH), etc.; R11 = H, C1-6 alkyl; R12 = H, R14; R14 = physiol. hydrolyzable alkyl, M; M = NH4, Na, K, etc.; R5 = H, C1-6 alkyl, C2-3 alkenyl, C3-6 cycloalkyl, etc.], useful as cholesterol biosynthesis inhibitors, were prepared Reduction of Et (E)-7-[4'-(4''-fluorophenyl)-2'-(1'''-methylethyl)quinolin-3'-yl]-5-hydroxy-3-oxohept-6-enoate (preparation given) with NaBH4, followed by saponification in 0.5N NaOH, gave (E)-3,5-dihydroxy-7-[4'-(4''-fluorophenyl)-2'-(1'''-methylethyl)-quinolin-3'-yl]-hept-6-enoic acid Na salt (II). II exhibited an IC50 of 1.0 + 10-8M against cholesterol biosynthesis from acetate in vitro. A

L5 ANSWER 81 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
capsule formulation contg. II 1, lactose 3.5, cellulose 10, Mg stearate 0.5 g is given.
IT 121659-03-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cholesterol biosynthesis inhibitor)
RN 121659-03-8 CA
CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy- (9CI) (CA INDEX NAME)



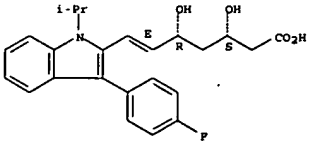
L5 ANSWER 82 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 108:131038 CA
TITLE: Process for the preparation of antiatherosclerotic 7-substituted-3R,5S-dihydroxy-6-heptenoates
INVENTOR(S): Chen, Kau Ming; Hardtmann, Goetz Edward; Lee, George T.; Linder, Jerome; Wattanasin, Sompong; Kapa, Prasad Koteswara
PATENT ASSIGNEE(S): Sandoz A.-G., Switz.; Sandoz-Patent-G.m.b.H.; Sandoz-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: Eur. Pat. Appl., 56 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 244364	A2	19871104	EP 1987-810277	19870430
EP 244364	A3	19920401		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 63022056	A	19880129	JP 1987-104855	19870430
PRIORITY APPLN. INFO.:			US 1986-857689 A	19860430

OTHER SOURCE(S): MARPAT 108:131038
AB 3R,5S-OHCCHOR1CH2CHOR1CH2CO2R2 (I; R1 = protective group; R2 = radical forming an ester inert to reaction conditions), prepared by condensation of Ph3COCH2CHOHCH2CO2H with Mg(O2CCH2CO2R2)2, stereoselective reduction to the (3R,5S)-diol, deprotection, cleavage of the trityl group, and oxidation, were condensed with RCH2P(O)(OR4)2 (III; R4 = alkyl, Ph) and after subsequent deprotection, formed the title compds. 3R,5S-trans-RCH:CHCHOHCH2CHOHCH2CO2R3 (II; R = optionally substituted Ph, naphthyl, hydronaphthyl, indolyl, imidazolyl, indenyl, pyrazolyl, pyrrolyl, furanyl, thienyl; R3 = H, pharmaceutically acceptable cation, physiol. hydrolizable ester group), useful as antiatherosclerotics (no data). Mg(O2CCH2CO2Et)2 (preparation given) was added to (3S)-Ph3COCH2CHOHCH2CO2H (preparation given) in THF containing 1,1'-carbonyldiimidazole to give (5S)-Ph3COCH2CHOHCH2COCH2CO2Et which was stirred with Et3B in EtOH/THF 2 h whereupon NaBH4 was added and the mixture stirred an addnl. 5 h to give the corresponding diol-ester (.apprx.98% syn). The latter was protected with Me3CSiClPh2, the trityl group hydrolized, and the product stirred with pyridinium chlorochromate to give (3R,5S)-OHCCH(OSiPh2CMe3)CH2CH(OSiPh2CMe3)CH2CO2Et.
IT 93957-54-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antiatherosclerotic agent)
RN 93957-54-1 CA

L5 ANSWER 82 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



L5 ANSWER 83 OF 83 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 102:24475 CA
TITLE: Analogs of mevalolactone and derivatives thereof and their use as pharmaceuticals
INVENTOR(S): Kathawala, Faizulla Gulamhusein
PATENT ASSIGNEE(S): Sandoz A.-G., Switz.
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8403131	A1	19840607	WO 1983-EP308	19831118
W: AU, DK, FI, HU, JP				
AU 8322612	A	19840618	AU 1983-22612	19831118
AU 570021	B2	19880303		
JP 60500015	T	19850110	JP 1983-503754	19831118
JP 02046031	B	19901012		
HU 35642	A2	19850729	HU 1984-284	19831118
HU 204253	B	19911230		
ES 527428	A1	19850801	ES 1983-527428	19831121
IL 70286	A	19870831	IL 1983-70286	19831121
EP 114027	A1	19840725	EP 1983-810548	19831122
EP 114027	B1	19880107		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
ZA 8308718	A	19850828	ZA 1983-8718	19831122
CA 1210405	A1	19860826	CA 1983-441684	19831122
AT 31718	T	19880115	AT 1983-810548	19831122
FI 8402615	A	19840628	FI 1984-2615	19840628
FI 77228	B	19881031		
FI 77228	C	19890210		
DK 8403592	A	19840720	DK 1984-3592	19840720
US 4739073	A	19880419	US 1985-707854	19850304
DK 9000978	A	19900419	DK 1990-978	19900419
DK 165244	B	19921026		
DK 165244	C	19930322		
JP 03047167	A	19910228	JP 1990-120164	19900511
JP 04040343	B	19920702		
US 5354772	A	19941011	US 1993-157595	19931124
PRIORITY APPLN. INFO.:			US 1982-443668 A	19821122
			US 1983-548850 A	19831104
			WO 1983-EP308 A	19831118
			EP 1983-810548 A	19831122
			US 1985-707854 A2	19850304
			US 1985-722288 B1	19850411

OTHER SOURCE(S): MARPAT 102:24475
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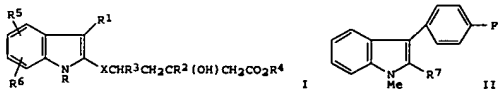
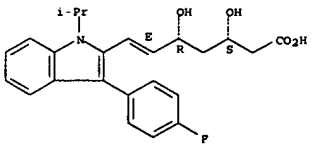
L5 ANSWER 83 OF 83 CA COPYRIGHT 2007 ACS on STN (Continued)



AB Antiatherosclerotic (no data) indoles I [R, R1 = Ph, substituted Ph, alkyl, cycloalkyl, aralkyl; R2 = H, alkyl; R3 = OH, R4 = H; R3R4 = bond; R5, R6 = H, alkyl, cycloalkyl, alkoxy, CF3, F, Cl, PhO, PhCH2O; X = (CH2)0-3, CH:CH] were prepared Thus, II (R7 = CO2Et) was reduced to the alc. and reoxidized to the aldehyde which was treated with Bu3SnCH:CHOEt to give II (R7 = E-CH:CHCHO). The latter compound was treated with MeCOCH2CO2Me to give II [R7 = E-CH:CHCH(OH)CH2COCH2CO2Me] was was reduced to diol, followed by ester hydrolysis, to give II [R7 = E-CH:CHCH(OH)CH2CH(OH)CH2CO2H]. Lactonization of this acid gave I [X = E-CH:CH, R = Me; R2 = R5 = R6 = H, R1 = 4-FC6H4, R3R4 = bond].
IT 93957-54-1P
RL: RCT (Reactant); PREP (Preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and lactonization of)
RN 93957-54-1 CA
CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



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L3          114 S L1 FULL

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L4         1958 S L3
L5           83 S L3/PREP

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